10524921.trn

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 28	MAY 01	New CAS web site launched
NEWS 29	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 33	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 34	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

```
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:41:30 ON 22 MAY 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42       0.42

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STRUCTURE FILE UPDATES:   21 MAY 2007  HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES:  21 MAY 2007  HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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REGISTRY includes numerically searchable data for experimental and
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http://www.cas.org/support/stngen/stndoc/properties.html

=>
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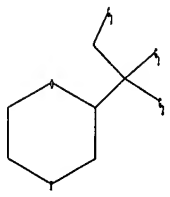
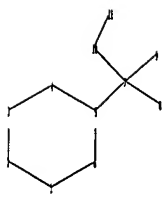
10524921.trn

Uploading C:\Program Files\Stnexp\Queries\10524921.str





chain nodes :
7 8 9 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-9 7-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 10-11
exact bonds :
5-7 7-10
isolated ring systems :
containing 1 :

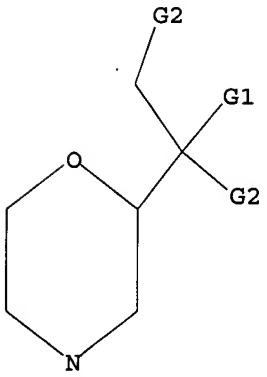
G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 12:42:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      217 TO ITERATE

100.0% PROCESSED      217 ITERATIONS                              6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3457 TO     5223
PROJECTED ANSWERS:                6 TO      266

L2             6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:42:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4405 TO ITERATE

100.0% PROCESSED     4405 ITERATIONS                            233 ANSWERS
SEARCH TIME: 00.00.01

L3           233 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               172.10     172.52

FILE 'HCAPLUS' ENTERED AT 12:42:54 ON 22 MAY 2007
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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 10 L3

=> s l4 and py<=2002
22885370 PY<=2002
L5 0 L4 AND PY<=2002

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1331209 HCAPLUS
DOCUMENT NUMBER: 146:223523
TITLE: Synthesis of 11C-labelled (R)-OHDMI and CFMME and their evaluation as candidate radioligands for imaging central norepinephrine transporters with PET
AUTHOR(S): Schou, Magnus; Pike, Victor W.; Sovago, Judit; Gulyas, Balazs; Gallagher, Peter T.; Dobson, David R.; Walter, Magnus W.; Rudyk, Helene; Farde, Lars; Halldin, Christer
CORPORATE SOURCE: Karolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital, Stockholm, S-17176, Swed.
SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(2), 616-625
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (R)-1-(10,11-Dihydro-dibenzo[b,f]azepin-5-yl)-3-methylamino-propan-2-ol ((R)-OHDMI) and (S,S)-1-cyclopentyl-2-(5-fluoro-2-methoxy-phenyl)-1-morpholin-2-yl-ethanol (CFMME) were synthesized and found to be potent inhibitors of norepinephrine reuptake. Each was labeled efficiently in its Me group with carbon-11 (t1/2 = 20.4 min) as a prospective radioligand for imaging brain norepinephrine transporters (NET) with positron emission tomog. (PET). The uptake and distribution of radioactivity in brain following i.v. injection of each radioligand into cynomolgus monkey was examined in vivo with PET. After injection of (R)-[11C]OHDMI, the maximal whole brain uptake of radioactivity was very low (1.1% of injected dose; I.D.). For occipital cortex, thalamus, lower brainstem, mesencephalon and cerebellum, radioactivity ratios to striatum at 93 min after radioligand injection were 1.35, 1.35, 1.2, 1.2 and 1.0, resp. After injection of [11C]CFMME, radioactivity readily entered brain (3.5% I.D.). Ratios of radioactivity to cerebellum at 93 min for thalamus, occipital cortex, region of locus coeruleus, mesencephalon and striatum were 1.35, 1.3, 1.3,

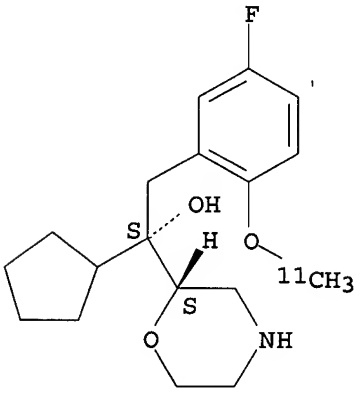
1.2 and 1.2, resp. Radioactive metabolites in plasma were measured by radio-HPLC. (R)-[11C]OHDMI represented 75% of plasma radioactivity at 4 min after injection and 6% at 30 min. After injection of [11C]CFMME, 84% of the radioactivity in plasma represented parent at 4 min and 20% at 30 min. Since the two new hydroxylated radioligands provide only modest regional differentiation in brain uptake and form potentially troublesome lipophilic radioactive metabolites, they are concluded to be inferior to existing radioligands, such as (S,S)-[11C]MeNER, (S,S)-[18F]FMeNER-D2 and (S,S)-[18F]FRB-D4, for the study of brain NETs with PET in vivo.

IT 925232-43-5P
RL: DGN (Diagnostic use); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)

RN 925232-43-5 HCAPLUS

CN 2-Morpholinemethanol, α-cyclopentyl-α-[[5-fluoro-2-(methoxy-11C)-phenyl]methyl]-, (αS,2S)- (CA INDEX NAME)

Absolute stereochemistry.

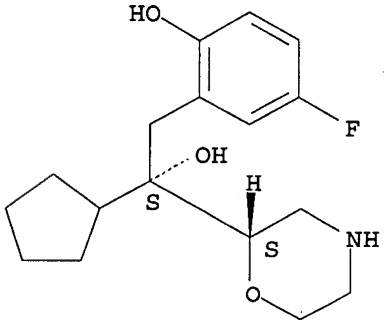


IT 925232-42-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)

RN 925232-42-4 HCAPLUS

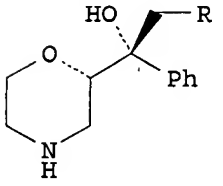
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(5-fluoro-2-hydroxyphenyl)methyl]-, (αS,2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:188918 HCAPLUS
DOCUMENT NUMBER: 144:432755
TITLE: Discovery of novel and selective tertiary alcohol containing inhibitors of the norepinephrine transporter
AUTHOR(S): Cases-Thomas, Manuel J.; Masters, John J.; Walter, Magnus W.; Campbell, Gordon; Haughton, Louise; Gallagher, Peter T.; Dobson, David R.; Mancuso, Vincent; Bonnier, Benjamin; Giard, Thierry; Defrance, Thierry; Vanmarsenille, Michel; Ledgard, Andrew; White, Craig; Ouwerkerk-Mahadevan, Sivi; Brunelle, Francoise J.; Dezutter, Nancy A.; Herbots, Camy A.; Lienard, Joel Y.; Findlay, Jeremy; Hayhurst, Lorna; Boot, John; Thompson, Linda K.; Hemrick-Luecke, Susan
CORPORATE SOURCE: Lilly Research Centre, Eli Lilly and Company, Ltd, Surrey, GU20 6PH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 2022-2025
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:432755
GI



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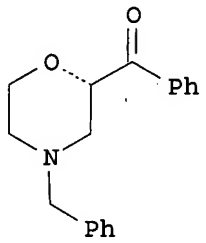


II

AB Nonracemic α-phenyl-α-(arylmethyl)-2-morpholinemethanol hydrochlorides I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) are prepared as potent and selective inhibitors of the norepinephrine transporter. I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) are prepared using the diastereoselective addition of arylmethyl Grignard reagents to nonracemic morpholinylphenylmethanone II as the key step; debenzylation with 1-chloroethyl chloroformate and methanolysis provides the title compds. II is prepared in four steps by addition of 2-(benzylamino)ethanol to α-chloroacrylonitrile, cyclocondensation to the morpholinecarbonitrile, addition of phenylmagnesium chloride and hydrolysis to racemic II, and resolution of racemic II either by preparative HPLC or by preparative SFC. The in vitro binding affinities of I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) and of the three diastereomers of I•HCl (R = 2-MeOC6H4) for the norepinephrine, dopamine and serotonin transporters are given; the in vivo activity of I•HCl (R = 2-MeOC6H4)

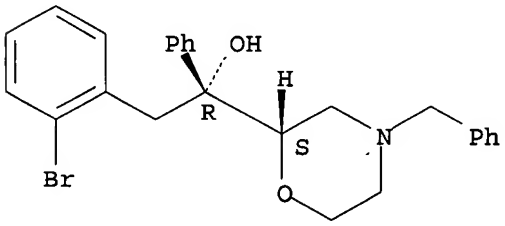
in a pharmacodynamic animal model for norepinephrine reuptake inhibition is also given. The structure of I•HCl (R = 2-BrC6H4) is determined by X-ray crystallog.

IT 885096-46-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure; preparation of nonracemic α-phenyl-α-(arylmethyl)morpholinemethanols and their activities as norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)

RN 885096-46-8 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



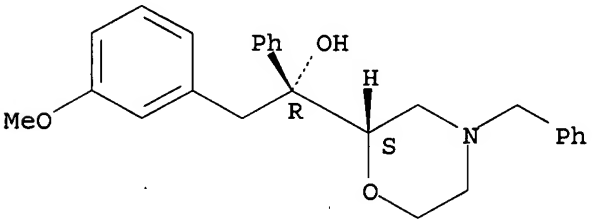
● HCl

IT 885096-42-4P 885096-43-5P 885096-44-6P
885096-45-7P 885096-47-9P 885096-48-0P
885096-49-1P 885096-50-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of nonracemic α-phenyl-α-(arylmethyl)morpholinemethanols and their activities as selective norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)

RN 885096-42-4 HCAPLUS

CN 2-Morpholinemethanol, α-[(3-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

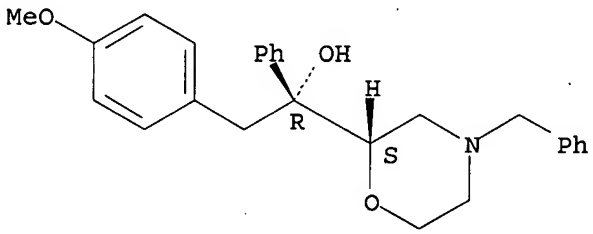


● HCl

RN 885096-43-5 HCAPLUS

CN 2-Morpholinemethanol, α-[(4-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

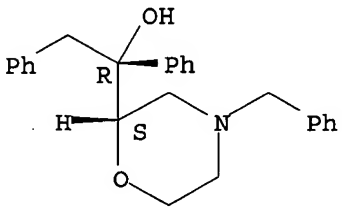
Absolute stereochemistry.



● HCl

RN 885096-44-6 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α,4-bis(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

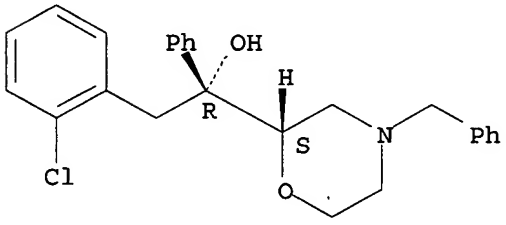
Absolute stereochemistry.



● HCl

RN 885096-45-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

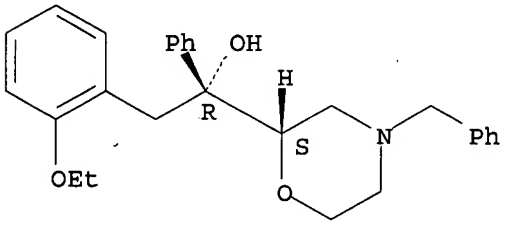
Absolute stereochemistry.



● HCl

RN 885096-47-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

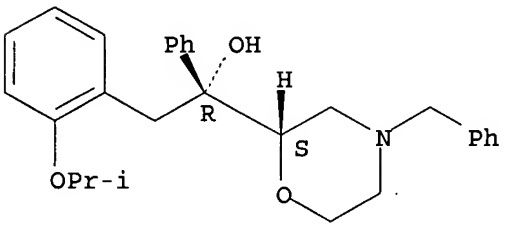
Absolute stereochemistry.



● HCl

RN 885096-48-0 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

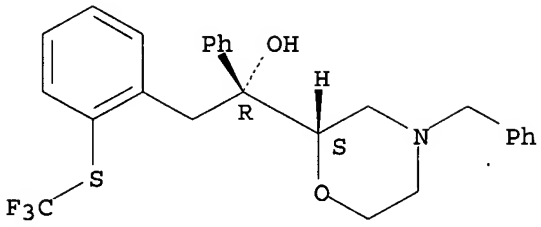
Absolute stereochemistry.



● HCl

RN 885096-49-1 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-[(trifluoromethyl)thio]phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

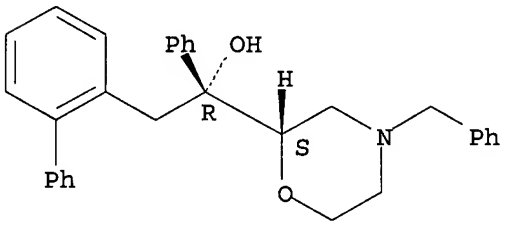
Absolute stereochemistry.



● HCl

RN 885096-50-4 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

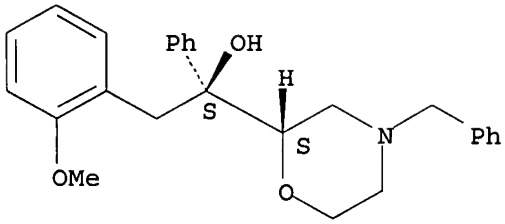
Absolute stereochemistry.



● HCl

IT 885096-38-8P 885096-39-9P 885096-40-2P
885096-41-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of the four nonracemic α-phenyl-α-(2-methoxybenzyl)morpholinemethanol diastereomers and comparison of their activities and selectivities as norepinephrine transporter inhibitors)
RN 885096-38-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αS,2S)- (9CI) (CA INDEX NAME)

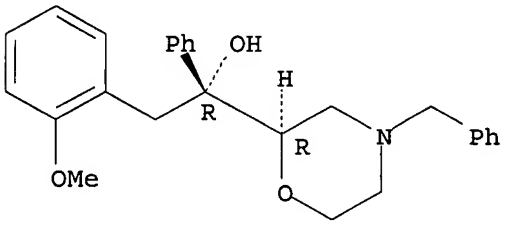
Absolute stereochemistry.



● HCl

RN 885096-39-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2R)- (9CI) (CA INDEX NAME)

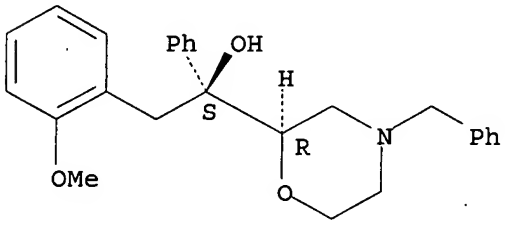
Absolute stereochemistry.



● HCl

RN 885096-40-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αS,2R)- (9CI) (CA INDEX NAME)

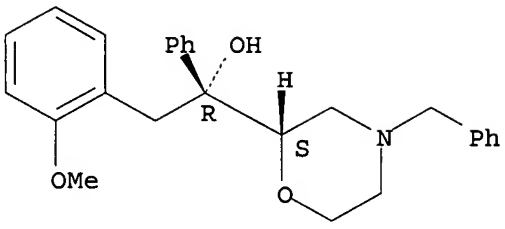
Absolute stereochemistry.



● HCl

RN 885096-41-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



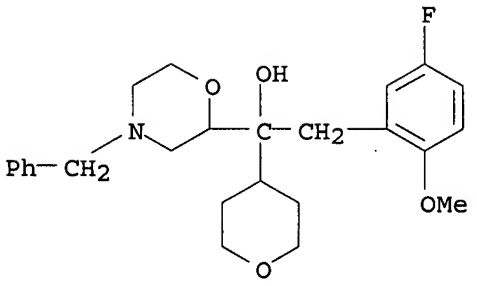
● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

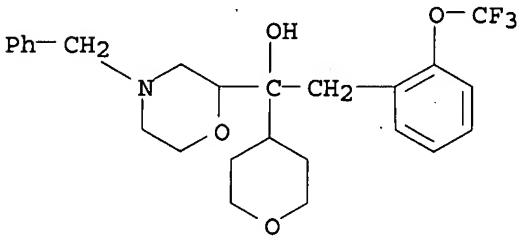
L4 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:588645 HCAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2548304	A1	20050707	CA 2004-2548304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1889940	A	20070103	CN 2004-80036841	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:			US 2003-529428P P	20031212
			WO 2004-US38221 W	20041201

OTHER SOURCE(S): MARPAT 143:115550
GI



RN 852236-83-0 HCAPLUS
CN 2-Morpholinemethanol, 4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:523264 HCAPLUS
DOCUMENT NUMBER: 143:59831
TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure
INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelson, David; Gehlert, Donald Richard; Yang, Charles Renkin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

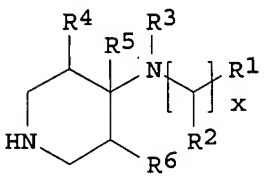
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

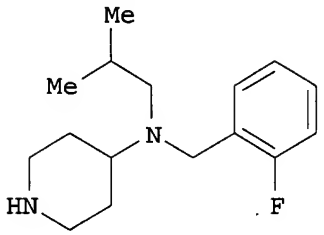
PRIORITY APPLN. INFO.: US 2003-524450P P 20031124
US 2003-524781P P 20031125

OTHER SOURCE(S): MARPAT 143:59831

GI



I



II

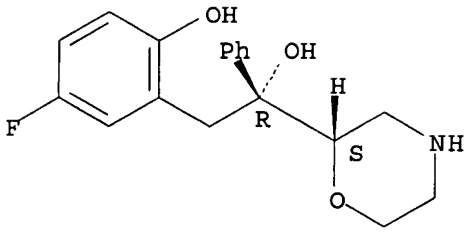
AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-79-6P 664360-81-0P
664360-82-1P 664360-83-2P 664360-84-3P
664360-85-4P 664360-86-5P 664360-87-6P
664360-88-7P 664360-89-8P 854139-85-8P
854139-86-9P 854139-87-0P 854139-88-1P
854139-89-2P 854139-90-5P 854139-91-6P
854139-92-7P 854139-93-8P 854139-94-9P
854139-95-0P 854139-96-1P 854139-97-2P
854139-98-3P 854139-99-4P 854140-00-4P
854140-01-5P 854140-02-6P 854140-03-7P
854140-04-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

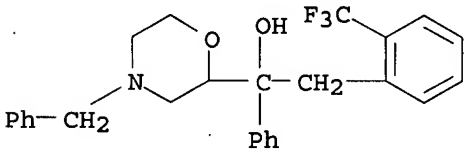
RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

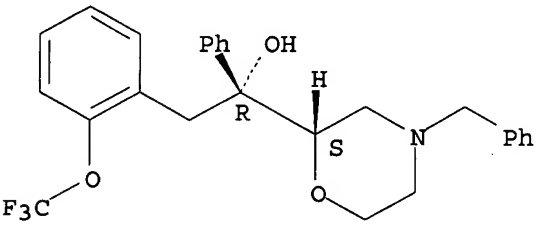


RN 800407-84-5 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 854139-69-8 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

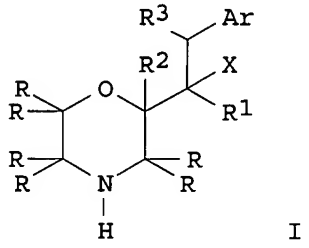
L4 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:451370 HCAPLUS
DOCUMENT NUMBER: 142:482071
TITLE: Preparation of morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Campbell, Gordon Iain; Cases-Thomas, Manuel Javier; Man, Teresa; Masters, John Joseph; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047272	A1	20050526	WO 2004-US32771	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004289616	A1	20050526	AU 2004-289616	20041028
CA 2544649	A1	20050526	CA 2004-2544649	20041028
EP 1682523	A1	20060726	EP 2004-794209	20041028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1878762	A	20061213	CN 2004-80033115	20041028
BR 2004015273	A	20061219	BR 2004-15273	20041028
JP 2007510720	T	20070426	JP 2006-539492	20041028
US 2007083046	A1	20070412	US 2006-577841	20060429
NO 2006002700	A	20060808	NO 2006-2700	20060612

PRIORITY APPLN. INFO.:	GB 2003-26148	A	20031110
	US 2004-535459P	P	20040109
	WO 2004-US32771	W	20041028

OTHER SOURCE(S): MARPAT 142:482071

GI



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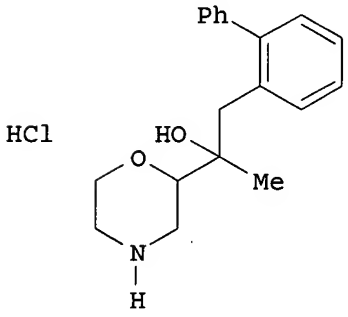


II

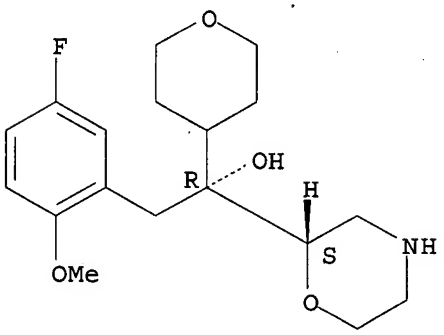
AB Title compds. I [X = OH, alkoxy, NH2, etc.; R independently = H, alkyl, with provisions; R1 = (un)substituted-alkyl, -alkoxy, CN, etc.; R2 = H, alkyl; R3 = H, alkyl; Ar = (un)substituted-Ph, -5- to 6-membered heteroaryl] and their pharmaceutically acceptable salts, are prepared and disclosed as norepinephrine reuptake inhibitors. Thus, e.g., II was prepared by conversion of 4-benzyl-morpholine-2-carbonitrile into the resp. carboxylic Et ester followed by amidation with N,N-dimethylhydroxylamine and subsequent Grignard reactions with Me magnesium bromide and 2-phenylbenzyl magnesium bromide followed by debenzylation and conversion into the HCl salt. The activity of I was evaluated in a CYP2D6 inhibition assay and preferred compds. of the invention exhibited an IC50 higher than 6 μM. I as norepinephrine reuptake inhibitors should prove useful in the treatment of disorders that are associated with norepinephrine dysfunction. Pharmaceutical compns. comprising I are disclosed.

IT 852236-82-9P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-82-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-(tetrahydro-2H-pyran-4-yl)-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



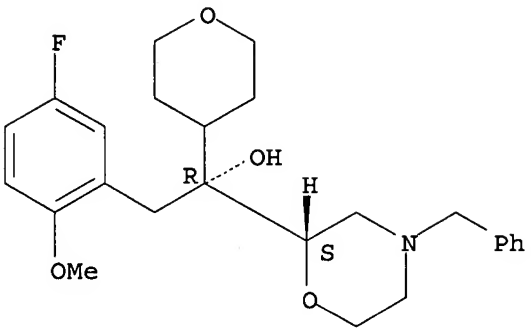
● HCl

IT 852236-79-4P 852236-80-7P 852236-81-8P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-79-4 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

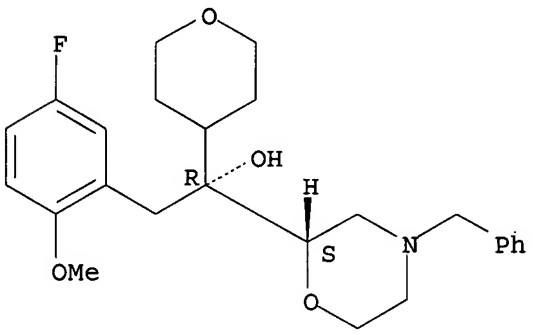
Relative stereochemistry.



● HCl

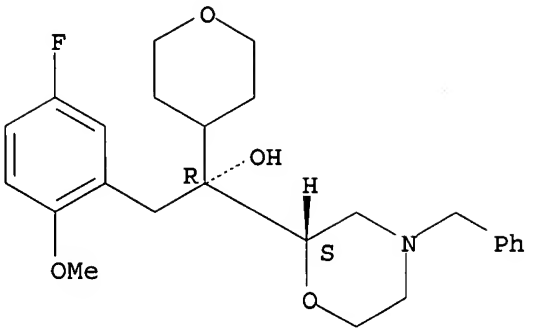
RN 852236-80-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 852236-81-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-, hydrobromide, (αR,2S)-rel- (9CI) (CA INDEX NAME)

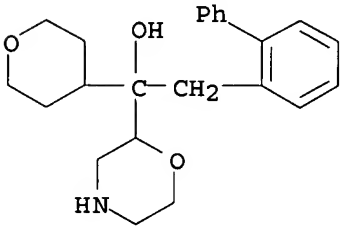
Relative stereochemistry.



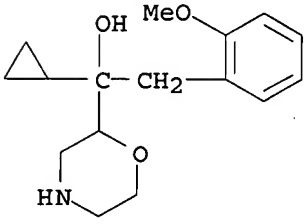
● HBr

IT 852236-87-4P 852237-05-9P 852237-08-2P
852237-11-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

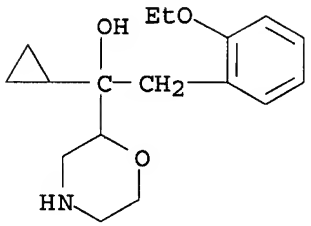
RN 852236-87-4 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



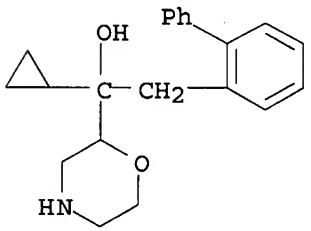
RN 852237-05-9 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



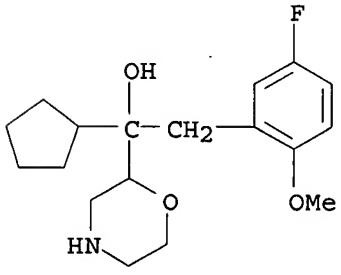
RN 852237-08-2 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-ethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 852237-11-7 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopropyl- (9CI) (CA INDEX NAME)

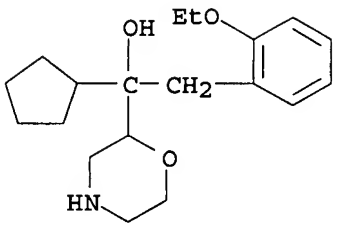


IT 852236-68-1P 852236-70-5P 852236-72-7P
852236-74-9P 852236-76-1P 852236-78-3P
852236-84-1P 852236-86-3P 852236-90-9P
852237-04-8P 852237-07-1P 852237-10-6P
852237-53-7P 852237-54-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)
RN 852236-68-1 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



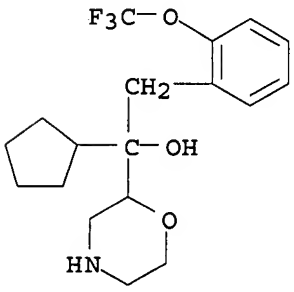
● HCl

RN 852236-70-5 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



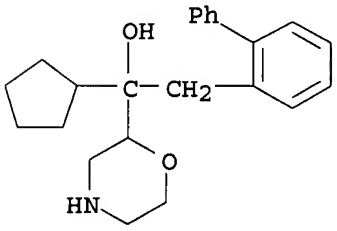
● HCl

RN 852236-72-7 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



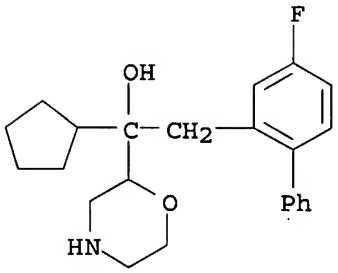
● HCl

RN 852236-74-9 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopentyl-, hydrochloride (9CI) (CA INDEX NAME)



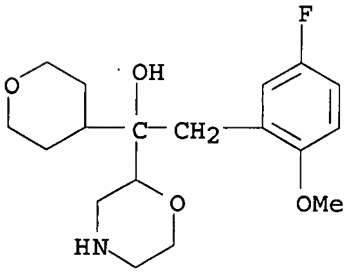
● HCl

RN 852236-76-1 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



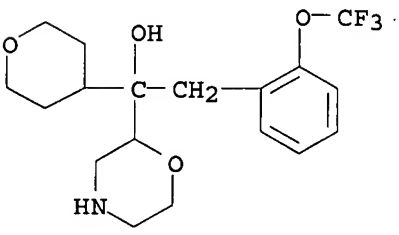
● HCl

RN 852236-78-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

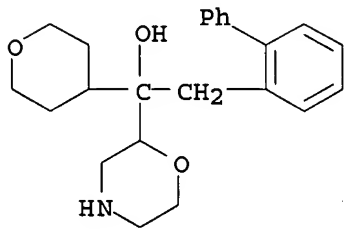
RN 852236-84-1 HCAPLUS
CN 2-Morpholinemethanol, α-(tetrahydro-2H-pyran-4-yl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

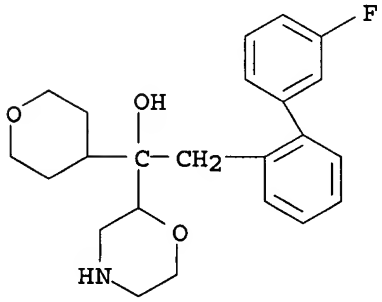
RN 852236-86-3 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-

(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



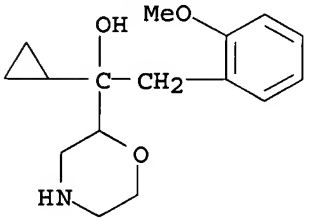
● HCl

RN 852236-90-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

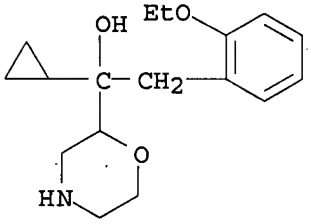
RN 852237-04-8 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

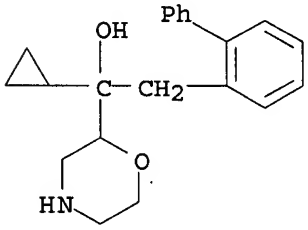
RN 852237-07-1 HCAPLUS

CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



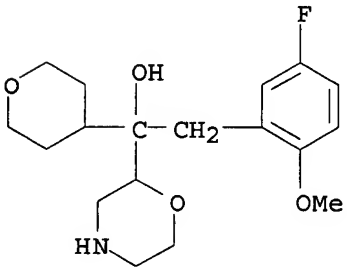
● HCl

RN 852237-10-6 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopropyl-, hydrochloride (9CI) (CA INDEX NAME)



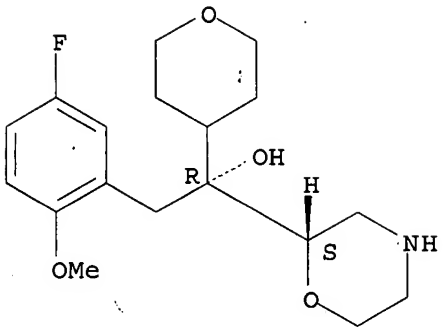
● HCl

RN 852237-53-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



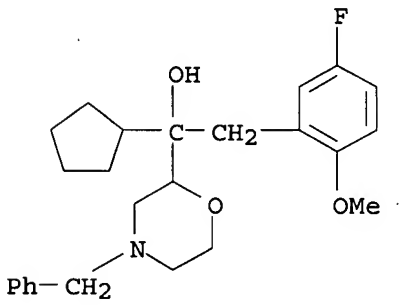
RN 852237-54-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-(tetrahydro-2H-pyran-4-yl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

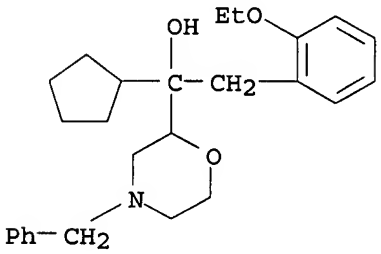


IT 852236-67-0P 852236-69-2P 852236-71-6P
852236-73-8P 852236-75-0P 852236-77-2P
852236-83-0P 852236-85-2P 852236-88-5P
852236-89-6P 852236-91-0P 852237-03-7P
852237-06-0P 852237-09-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

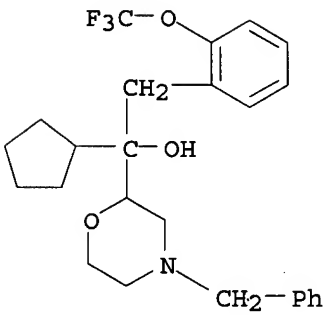
RN 852236-67-0 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



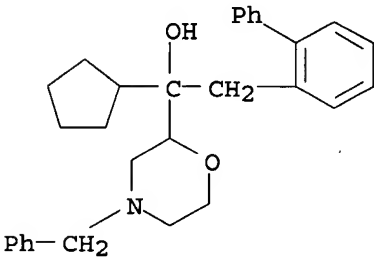
RN 852236-69-2 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(2-ethoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



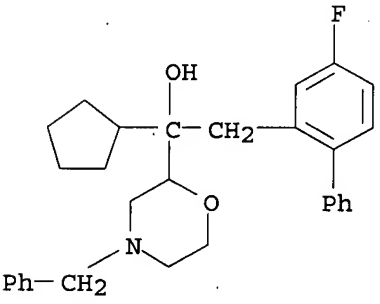
RN 852236-71-6 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



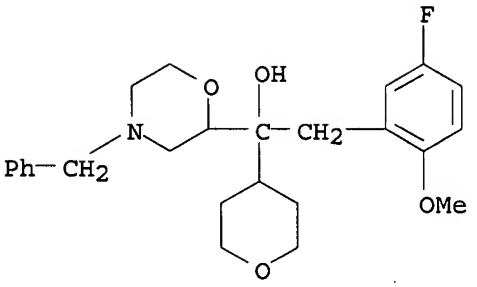
RN 852236-73-8 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopentyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



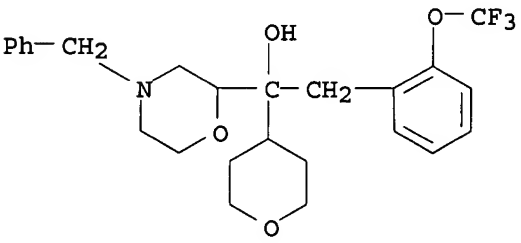
RN 852236-75-0 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopentyl-α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



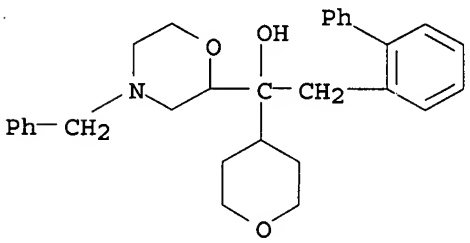
RN 852236-77-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



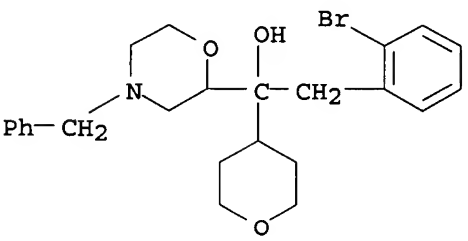
RN 852236-83-0 HCAPLUS
CN 2-Morpholinemethanol, 4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



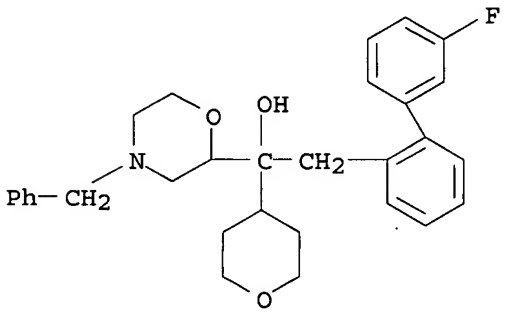
RN 852236-85-2 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



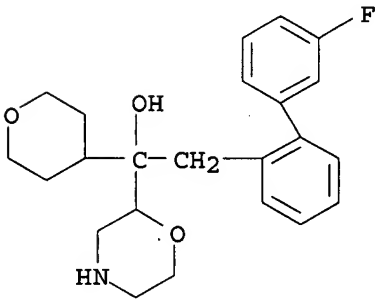
RN 852236-88-5 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



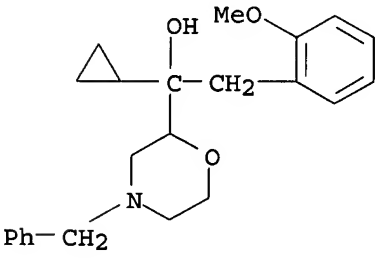
RN 852236-89-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 852236-91-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 852237-03-7 HCAPLUS
CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



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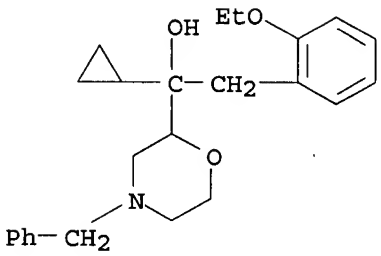
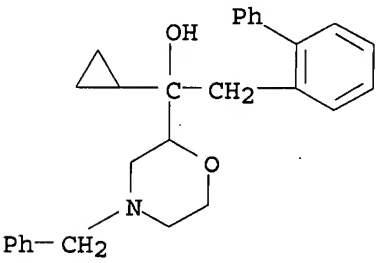
CN 2-Morpholinemethanol, α-cyclopropyl-α-[(2-ethoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 852237-09-3 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopropyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216719 HCAPLUS
DOCUMENT NUMBER: 142:291416
TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
INVENTOR(S): Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2532349	A1	20050310	CA 2004-2532349		20040825
EP 1660185	A2	20060531	EP 2004-780429		20040825
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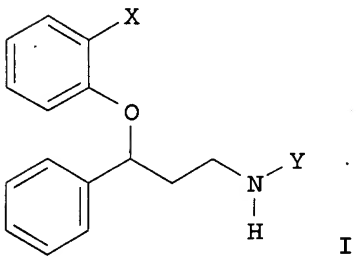
US 2007032554	A1	20070208	US 2006-568269		20060214
PRIORITY APPLN. INFO.:			US 2003-498018P	P	20030827
			WO 2004-US25591	W	20040825

OTHER SOURCE(S): MARPAT 142:291416

GI



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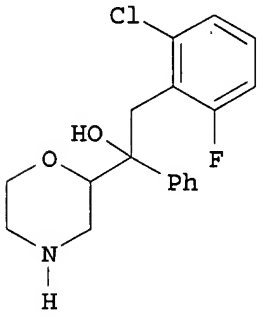

II

AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-79-6P 664360-81-0P
664360-82-1P 664360-83-2P 664360-84-3P
664360-85-4P 664360-86-5P 664360-87-6P

L4 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216660 HCAPLUS
DOCUMENT NUMBER: 142:291415
TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors
INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
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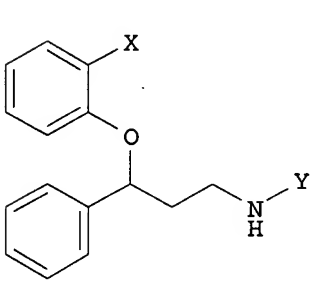
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P P	20030827
			WO 2004-US25593 W	20040825

OTHER SOURCE(S): MARPAT 142:291415
GI



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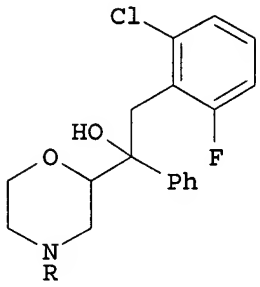


II

AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine,

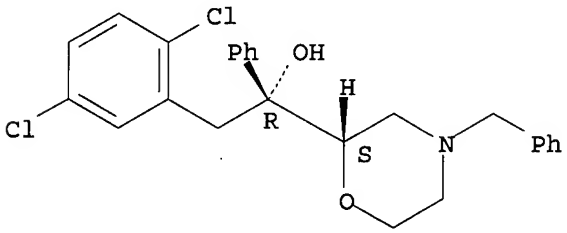
L4 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216659 HCAPLUS
DOCUMENT NUMBER: 142:291414
TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
INVENTOR(S): Sumner, Calvin Russell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005020975	A2	20050310	WO 2004-US25592		20040825
WO 2005020975	A3	20050602			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2530014	A1	20050310	CA 2004-2530014		20040825
EP 1660064	A2	20060531	EP 2004-780430		20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 2007105960	A1	20070510	US 2006-568244		20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P	20030827
			WO 2004-US25592	W	20040825

OTHER SOURCE(S): MARPAT 142:291414
GI

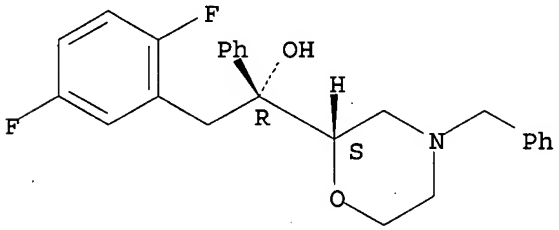
CN 2-Morpholinemethanol, α-[(2,5-dichlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



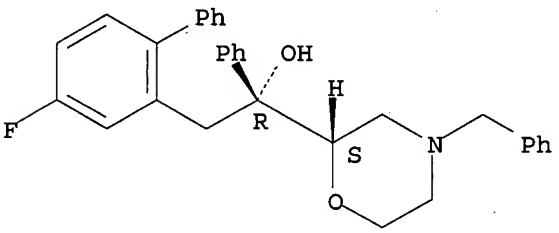
RN 664361-34-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-difluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-35-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1036891 HCAPLUS
DOCUMENT NUMBER: 142:16841
TITLE: Treatment of emotional dysregulation
INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann; Michelson, David; Reimherr, Frederick William
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004-US13005	20040511
WO 2004103356	A3	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

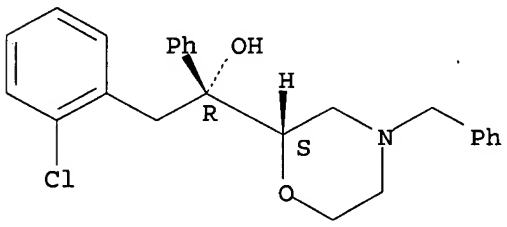
PRIORITY APPLN. INFO.: US 2003-470752P P 20030515
OTHER SOURCE(S): MARPAT 142:16841

AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine reuptake inhibitor.

IT 664361-18-6P 800407-77-6P 800407-78-7P
800407-79-8P 800407-81-2P 800407-82-3P
800407-83-4P 800407-84-5P 800407-85-6P
800407-86-7P 800407-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of emotional dysregulation)

RN 664361-18-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

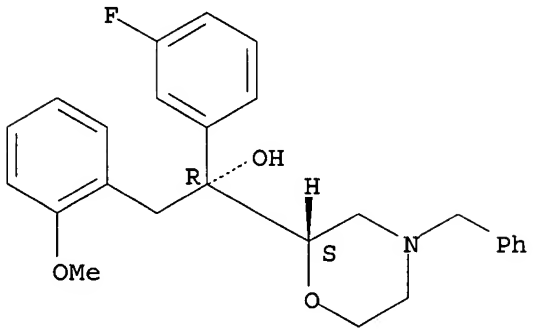
Relative stereochemistry.



RN 800407-77-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

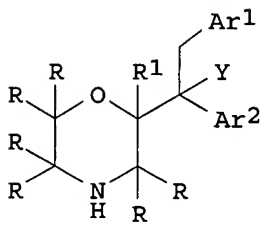
Absolute stereochemistry.



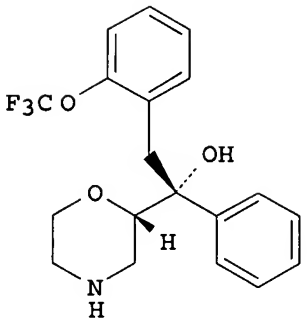
L4 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182855 HCAPLUS
DOCUMENT NUMBER: 140:217649
TITLE: Preparation of aryl and heteroaryl morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Cases-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Pereira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004018441	A1	20040304	WO 2003-US23270		20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003268024	A1	20040311	AU 2003-268024		20030818
EP 1534694	A1	20050601	EP 2003-748975		20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2006003998	A1	20060105	US 2005-524921		20050215
PRIORITY APPLN. INFO.:			GB 2002-19687	A	20020823
			US 2002-415303P	P	20021001
			WO 2003-US23270	W	20030818

OTHER SOURCE(S): MARPAT 140:217649
GI



I



II

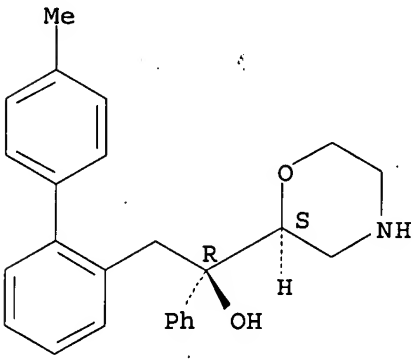
AB Morpholine derivs. of formula I [R = independently H, alkyl;, R1 = H, alkyl; Y = OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared The compds. are selective inhibitors of the reuptake of norepinephrine. Thus, II.HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethanone (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had Ki values less than 500 nM at the norepinephrine transporter in a scintillation proximity assay.

IT 664360-97-8P 664360-98-9P 664360-99-0P
664361-00-6P 664361-01-7P 664361-02-8P
664361-03-9P 664361-04-0P 664361-05-1P
664361-06-2P 664361-07-3P 664361-08-4P
664361-09-5P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-97-8 HCAPLUS

CN 2-Morpholinemethanol, α-[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

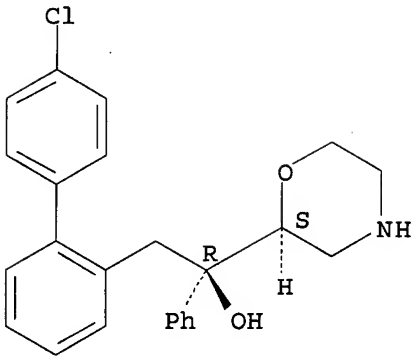
Absolute stereochemistry.



RN 664360-98-9 HCAPLUS

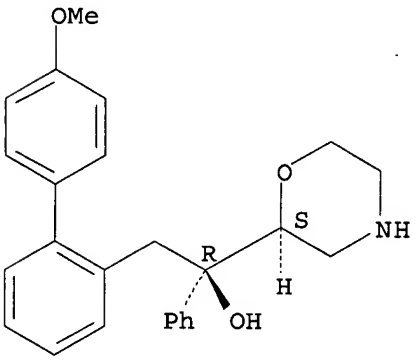
CN 2-Morpholinemethanol, α-[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



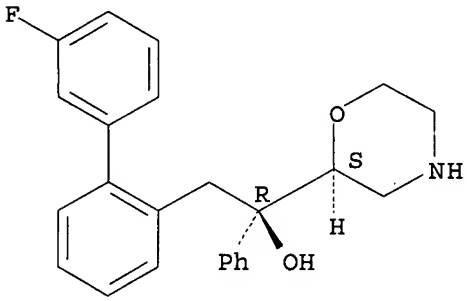
RN 664360-99-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



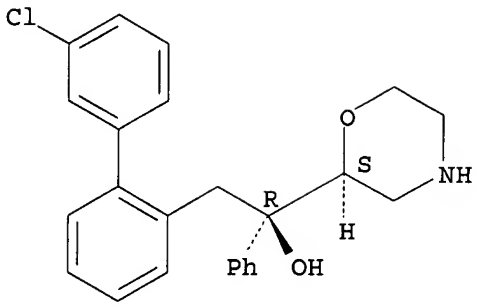
RN 664361-00-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



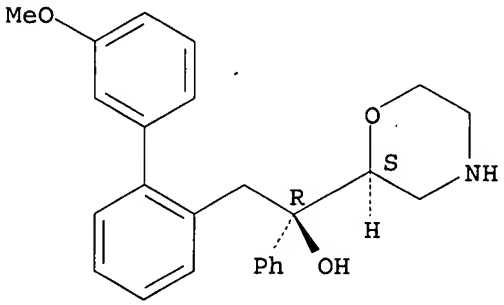
RN 664361-01-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



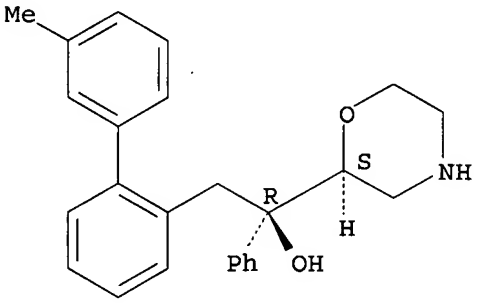
RN 664361-02-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



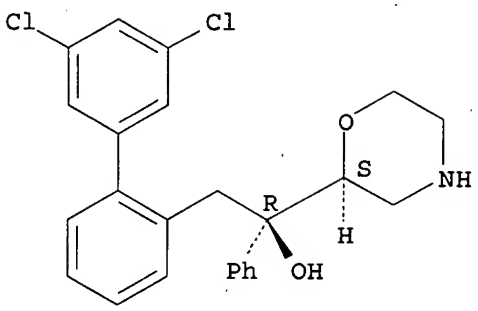
RN 664361-03-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



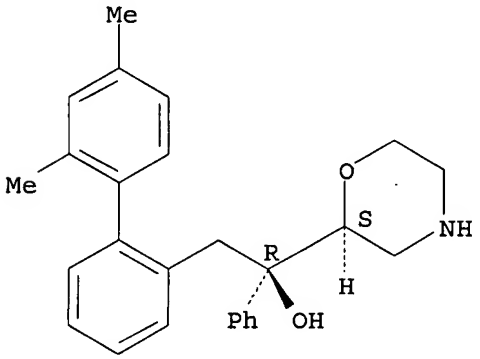
RN 664361-04-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



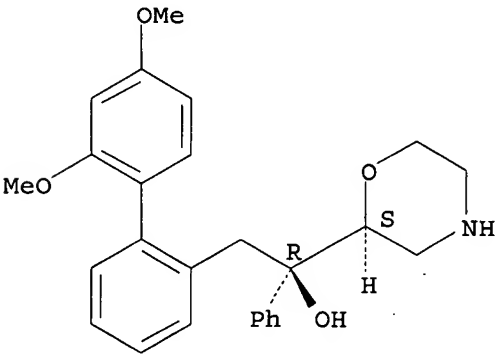
RN 664361-05-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



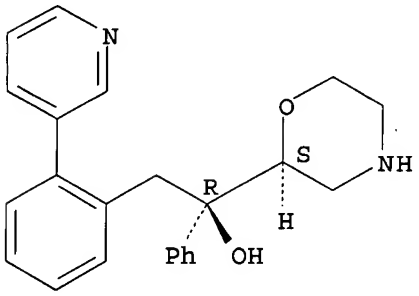
RN 664361-06-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



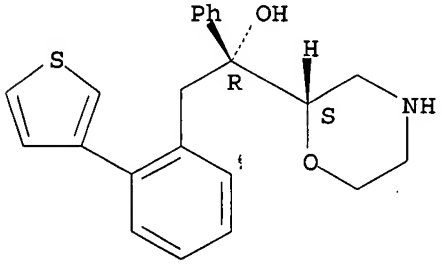
RN 664361-07-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(3-pyridinyl)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



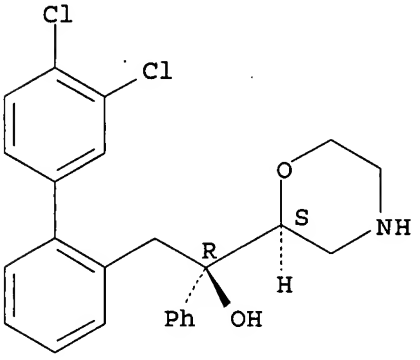
RN 664361-08-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(3-thienyl)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-09-5 HCAPLUS
CN 2-Morpholinemethanol, α-[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

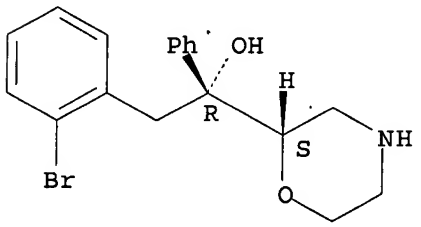
Absolute stereochemistry.



IT 664360-87-6P
RL: CRT (Combinatorial reactant); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-87-6 HCAPLUS

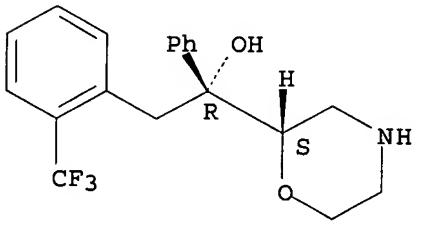
CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



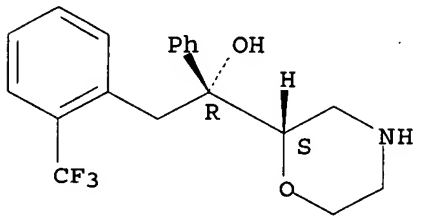
IT 664361-41-5P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664361-41-5 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethyl)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



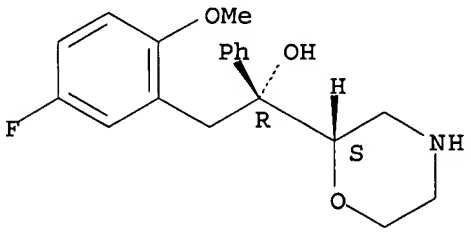
IT 664360-82-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-82-1 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethyl)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664360-79-6P 664361-42-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

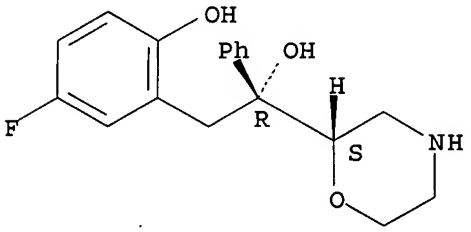
Absolute stereochemistry.



● HCl

RN 664361-42-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-hydroxyphenyl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

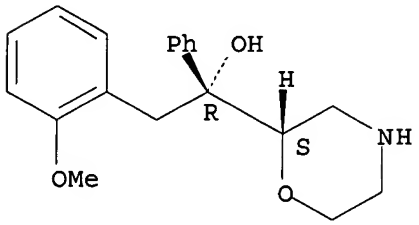
Absolute stereochemistry.



IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-81-0P 664360-83-2P
664360-84-3P 664360-85-4P 664360-86-5P
664360-88-7P 664360-89-8P 664360-90-1P
664360-91-2P 664360-92-3P 664360-93-4P
664360-94-5P 664360-95-6P 664360-96-7P
664361-37-9P 664361-38-0P 664361-39-1P
664361-40-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-72-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-,

hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

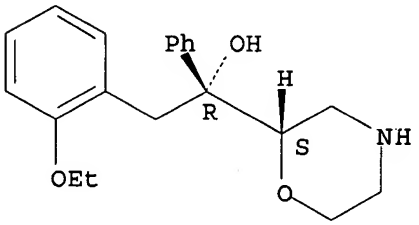
Absolute stereochemistry.



● HCl

RN 664360-73-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

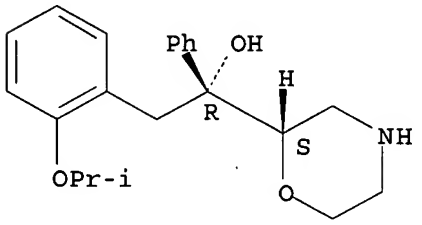
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

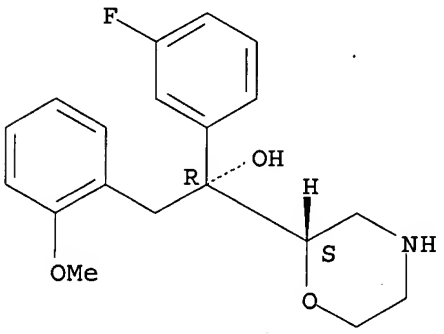


● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-

methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

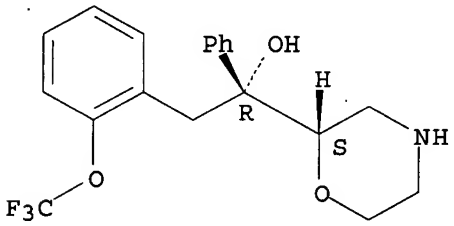
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

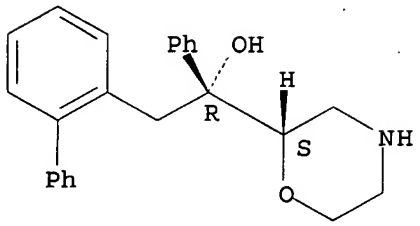
Absolute stereochemistry.



● HCl

RN 664360-77-4 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

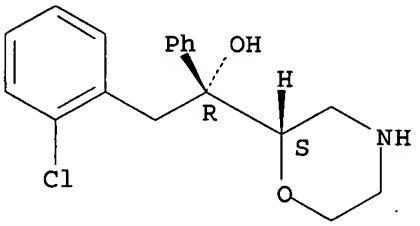
Absolute stereochemistry.



● HCl

RN 664360-78-5 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



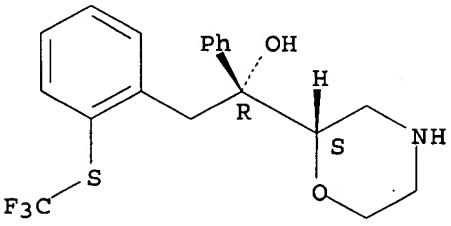
● HCl

RN 664360-81-0 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-[(trifluoromethyl)thio]phenyl]methyl]-, (αR,2S)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

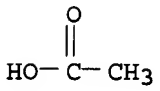
CRN 664360-80-9
CMF C19 H20 F3 N O2 S

Absolute stereochemistry.



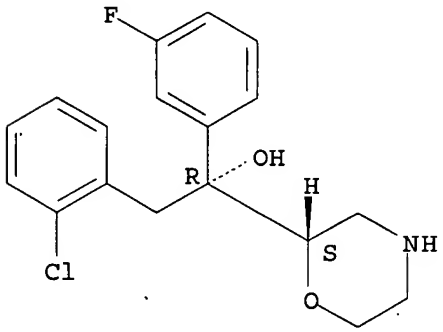
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 664360-83-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-(3-fluorophenyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

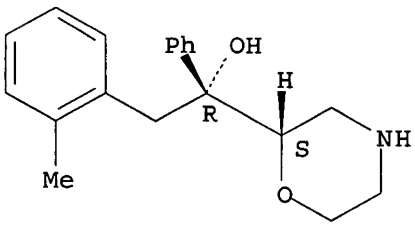
Absolute stereochemistry.



● HCl

RN 664360-84-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methylphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

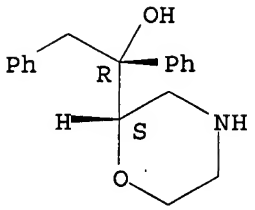
Absolute stereochemistry.



● HCl

RN 664360-85-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

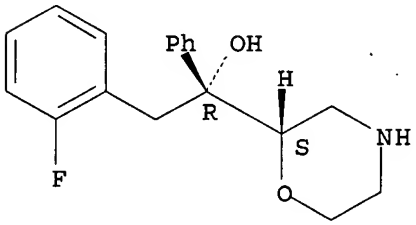
Absolute stereochemistry.



● HCl

RN 664360-86-5 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-fluorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

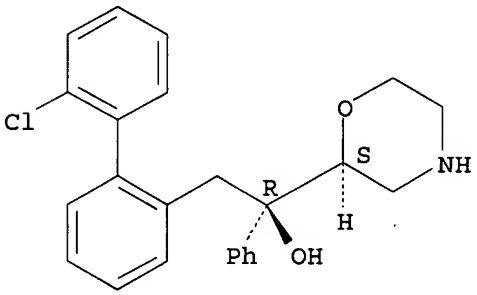
Absolute stereochemistry.



● HCl

RN 664360-88-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

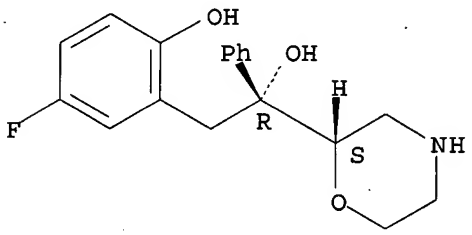


● HCl

RN 664360-89-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-hydroxyphenyl)methyl]-α-

phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

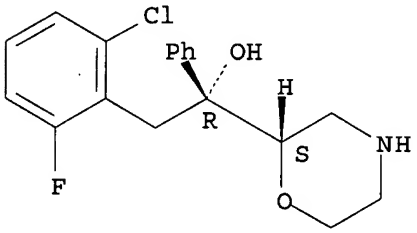
Absolute stereochemistry.



● HCl

RN 664360-90-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chloro-6-fluorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

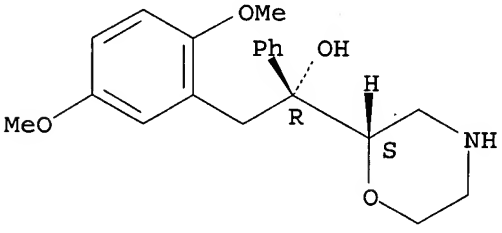
Absolute stereochemistry.



● HCl

RN 664360-91-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

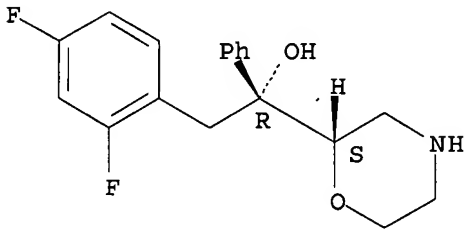
Absolute stereochemistry.



● HCl

RN 664360-92-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,4-difluorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

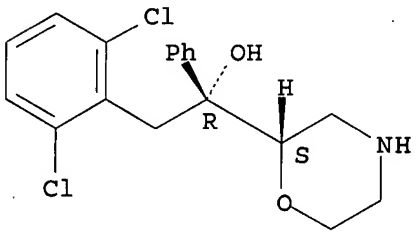
Absolute stereochemistry.



● HCl

RN 664360-93-4 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,6-dichlorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

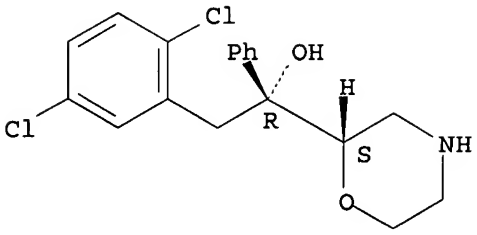
Absolute stereochemistry.



● HCl

RN 664360-94-5 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dichlorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

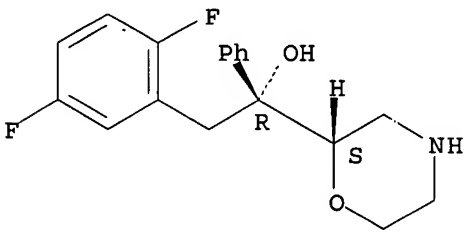
Absolute stereochemistry.



● HCl

RN 664360-95-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-difluorophenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

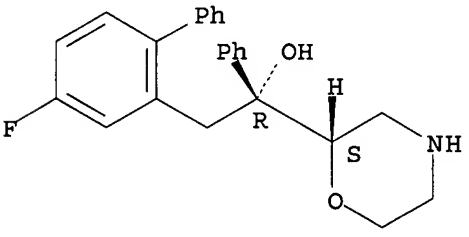
Absolute stereochemistry.



● HCl

RN 664360-96-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

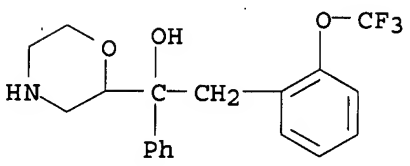
Absolute stereochemistry.



● HCl

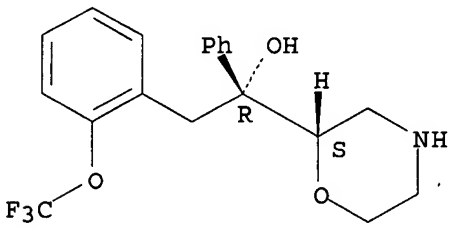
RN 664361-37-9 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-

(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

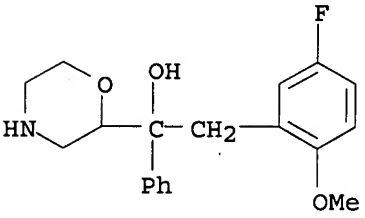


RN 664361-38-0 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

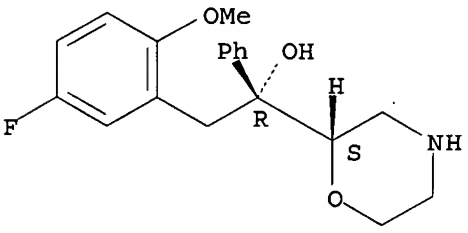


RN 664361-39-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl- (9CI) (CA INDEX NAME)



RN 664361-40-4 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

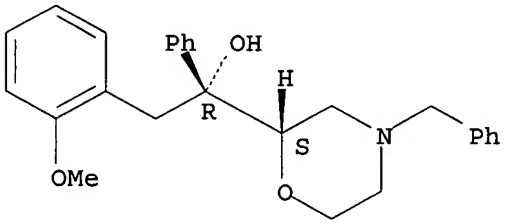


IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-17-5P

664361-18-6P 664361-19-7P 664361-20-0P
664361-22-2P 664361-23-3P 664361-24-4P
664361-25-5P 664361-26-6P 664361-27-7P
664361-28-8P 664361-29-9P 664361-30-2P
664361-31-3P 664361-32-4P 664361-33-5P
664361-34-6P 664361-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

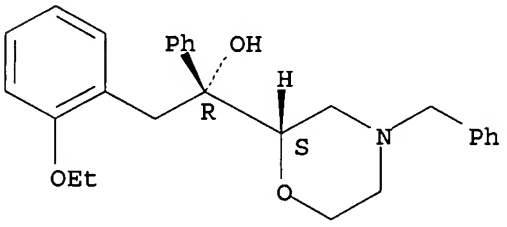
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



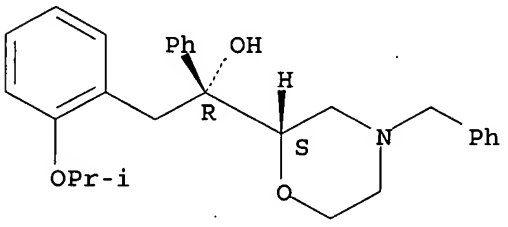
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

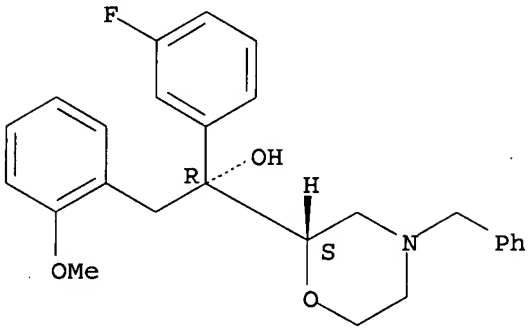
Relative stereochemistry.



RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-

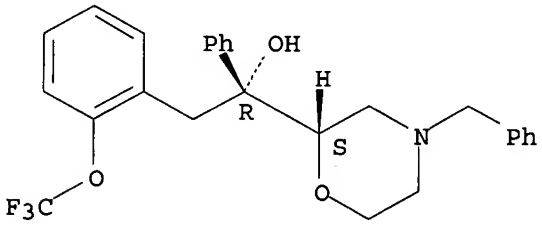
methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



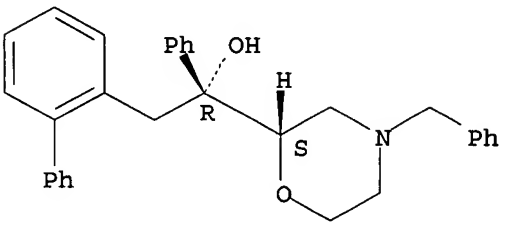
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



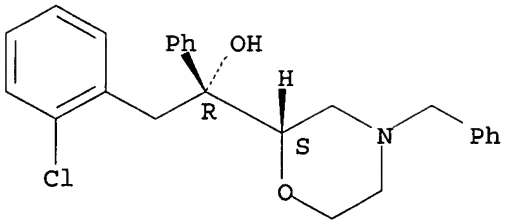
RN 664361-17-5 HCAPLUS
CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



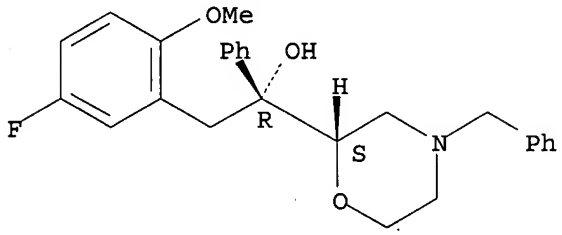
RN 664361-18-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



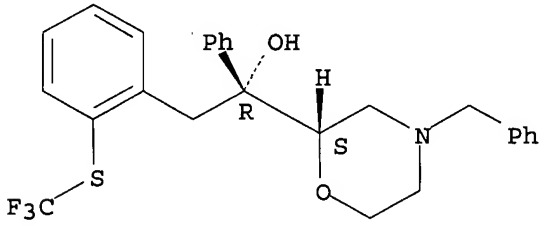
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



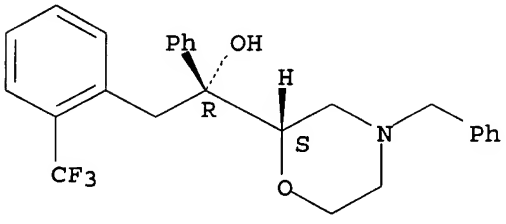
RN 664361-20-0 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-[(trifluoromethyl)thio]phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



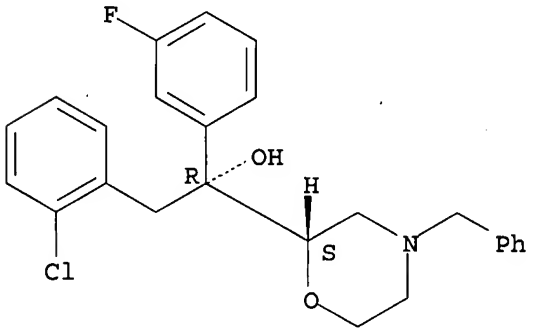
RN 664361-22-2 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethyl)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



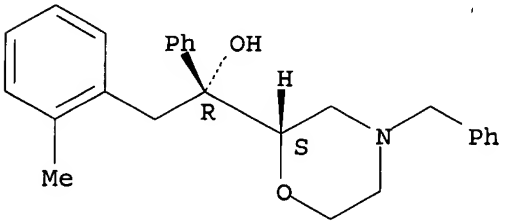
RN 664361-23-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chlorophenyl)methyl]-α-(3-fluorophenyl)-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



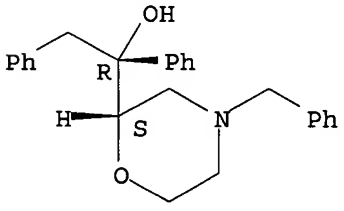
RN 664361-24-4 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methylphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



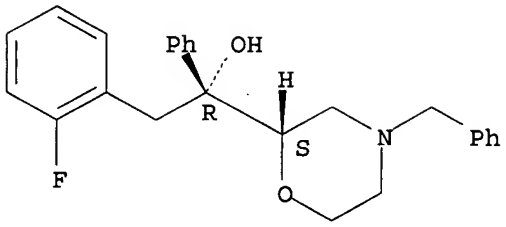
RN 664361-25-5 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α,4-bis(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



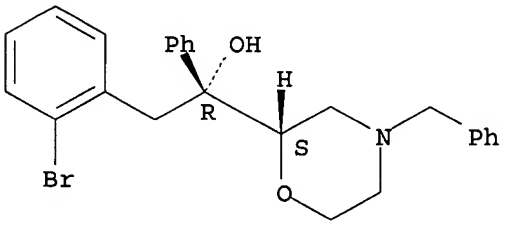
RN 664361-26-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-fluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



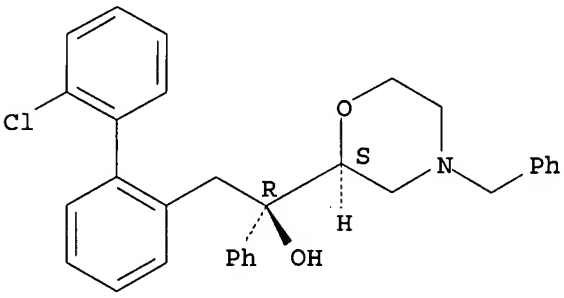
RN 664361-27-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



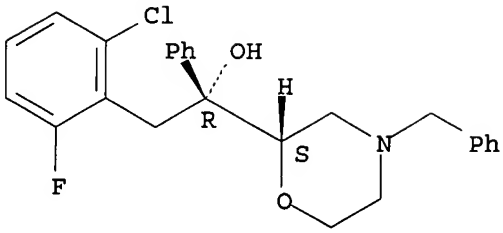
RN 664361-28-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-29-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-chloro-6-fluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
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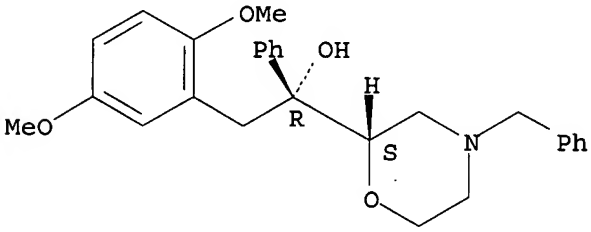
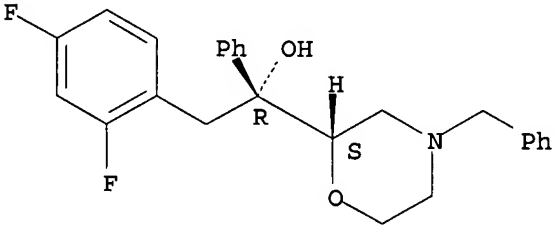
Relative stereochemistry.



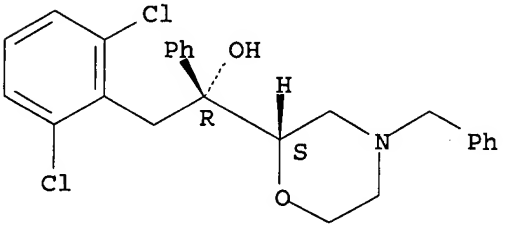
RN 664361-31-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,4-difluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-32-4 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,6-dichlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

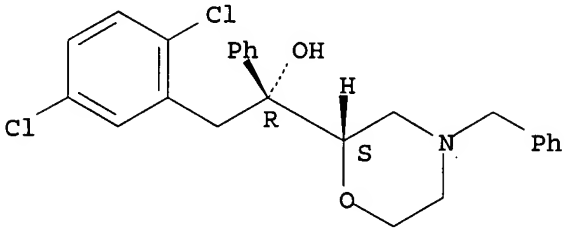
Relative stereochemistry.



RN 664361-33-5 HCAPLUS

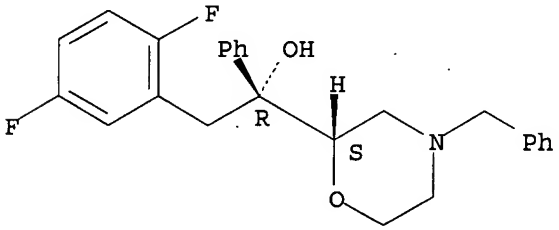
CN 2-Morpholinemethanol, α-[(2,5-dichlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



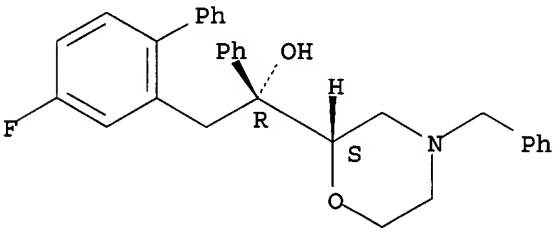
RN 664361-34-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-difluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-35-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	68.30	240.82
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.80	-7.80

FILE 'REGISTRY' ENTERED AT 12:46:36 ON 22 MAY 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\Stnexp\Queries\10524921a.str

chain nodes :
7 8 13
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
5-7
isolated ring systems :
containing 1 :

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS

L6 STRUCTURE UPLOADED

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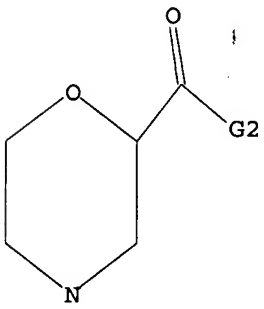
L6 HAS NO ANSWERS
L6 STR



G1 OH,MeO,EtO,n-PrO,n-BuO
G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 1040 TO ITERATE

100.0% PROCESSED 1040 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 18866 TO 22734
PROJECTED ANSWERS: 8 TO 329

L7 8 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 12:47:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 20051 TO ITERATE

100.0% PROCESSED 20051 ITERATIONS 201 ANSWERS
SEARCH TIME: 00.00.01

L8 201 SEA SSS FUL L6

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=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.10     412.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -7.80

FILE 'HCAPLUS' ENTERED AT 12:47:07 ON 22 MAY 2007
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FILE COVERS 1907 - 22 May 2007  VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007  (20070521/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l8
L9          54 L8

=> FIL REGISTRY
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     7.80     420.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -7.80

FILE 'REGISTRY' ENTERED AT 12:48:41 ON 22 MAY 2007
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DICTIONARY FILE UPDATES:  21 MAY 2007  HIGHEST RN 935505-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006
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Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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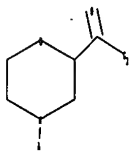
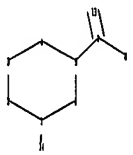
Uploading C:\Program Files\Stnexp\Queries\10524921b.str





chain nodes :
7 8 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-14 5-7 7-8 7-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-14 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
5-7
isolated ring systems :
containing 1 :

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS
14:CLASS

L10 STRUCTURE UPLOADED

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L10 HAS NO ANSWERS
L10              STR
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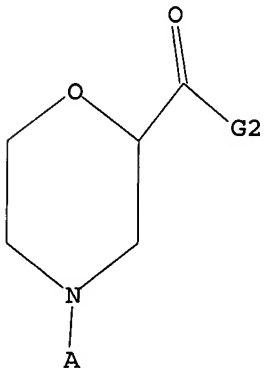

G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l10
SAMPLE SEARCH INITIATED 12:48:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1039 TO ITERATE

100.0% PROCESSED     1039 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        18847 TO    22713
PROJECTED ANSWERS:               2 TO      124

L11           2 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 12:49:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    20028 TO ITERATE

100.0% PROCESSED    20028 ITERATIONS                                67 ANSWERS
SEARCH TIME: 00.00.01

L12          67 SEA SSS FUL L10

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  172.10     592.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00      -7.80

FILE 'HCAPLUS' ENTERED AT 12:49:09 ON 22 MAY 2007
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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l12
L13          44 L12

=> s l13 and py<=2002
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L14           8 L13 AND PY<=2002

=> d l14 ibib abs hitstr tot
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L14 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964381 HCAPLUS
DOCUMENT NUMBER: 138:39538
TITLE: Sulfonylaminopyrrolidin-2-one-1-acetamides as inhibitors of Factor Xa
INVENTOR(S): Chan, Chuen; Hamblin, Julie Nicole; Kelly, Henry Andreson; King, Nigel Paul; Mason, Andrew McMurtrie; Patel, Vipulkumar Kantibhai; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Weston, Helen Elisabeth; Whitworth, Caroline; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100886	A1	20021219	WO 2002-GB2586	20020606 <--
WO 2002100886	A8	20040205		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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EP 1395606	A1	20040310	EP 2002-738349		20020606
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JP 2005508868	T	20050407	JP 2003-503652		20020606
US 2004152697	A1	20040805	US 2003-479534		20031203
US 7084139	B2	20060801			
US 2006160885	A1	20060720	US 2006-378947		20060317
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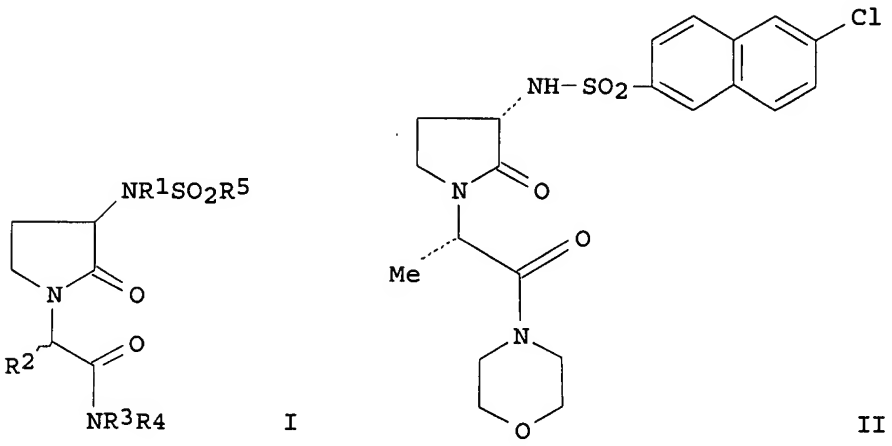
PRIORITY APPLN. INFO.:			GB 2001-14004	A	20010608
			WO 2002-GB2586	W	20020606
			US 2003-479534	A3	20031203

OTHER SOURCE(S): MARPAT 138:39538

GI



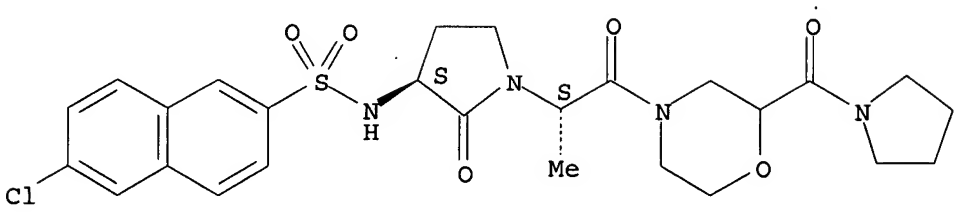
AB Title compds. I [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, Ph, heterocyclyl; R2 = alkyl, CF3; NR3R4 = (un)substituted heterocyclic; R5 = fused bicyclic, (un)substituted Ph, heteroarom., aralkyl, heteroarylalkyl] were prepared for use in the amelioration of a clin. condition for which a Factor Xa inhibitor is indicated (no data). Thus, Z-L-Met-OH was treated with H-L-Ala-OCMe2 and the dipeptide was cyclized with acid ion exchange resin to give tert.-Bu (2S)-2-[(3S)-3-benzyloxycarbonylamino-2-oxopyrrolidin-1-yl]propanoate, which was deblocked and sulfonylated with 6-chloro-2-naphthalenesulfonyl chloride, followed by ester hydrolysis and amidation with morpholine to give the sulfonamide II.

IT 478637-11-5P 478637-19-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylaminopyrrolidin-2-one-1-acetamides as inhibitors of Factor Xa)

RN 478637-11-5 HCAPLUS

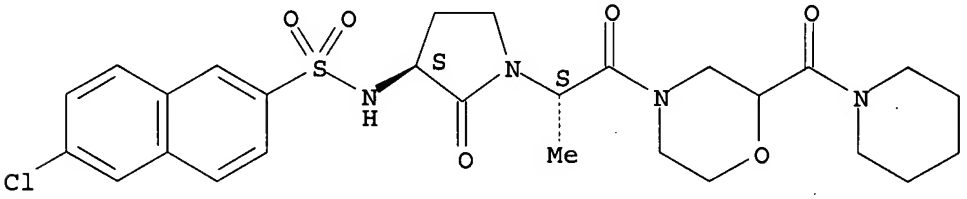
CN Morpholine, 4-[(2S)-2-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478637-19-3 HCAPLUS
CN Morpholine, 4-[(2S)-2-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:964327 HCAPLUS
DOCUMENT NUMBER: 138:39537
TITLE: Preparation of 3-sulfonylaminopyrrolidine-1-acetamides as Factor Xa inhibitors
INVENTOR(S): Chan, Chuen; Hamblin, Julie Nicole; Kelly, Henry Anderson; King, Nigel Paul; Mason, Andrew McMurtrie; Patel, Vipulkumar Kantibhai; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Weston, Helen Elisabeth; Whitworth, Caroline; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

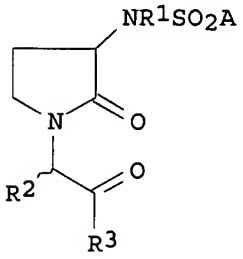
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100830	A1	20021219	WO 2002-GB2721	20020606 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2449629	A1	20021219	CA 2002-2449629		20020606 <--
AU 2002311451	A1	20021223	AU 2002-311451		20020606 <--
EP 1395553	A1	20040310	EP 2002-738368		20020606
EP 1395553	B1	20050216			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 200400156	A2	20040728	HU 2004-156		20020606
BR 2002010207	A	20040803	BR 2002-10207		20020606
CN 1538955	A	20041020	CN 2002-815400		20020606
JP 2004537530	T	20041216	JP 2003-503599		20020606
AT 289294	T	20050315	AT 2002-738368		20020606
PT 1395553	T	20050630	PT 2002-738368		20020606
ES 2235050	T3	20050701	ES 2002-2738368		20020606
NZ 530004	A	20050826	NZ 2002-530004		20020606
ZA 2003009367	A	20040802	ZA 2003-9367		20031202
US 2005107379	A1	20050519	US 2003-479545		20031203
US 7186717	B2	20070306			
IN 2003DN02079	A	20070112	IN 2003-DN2079		20031203
HK 1063471	A1	20050909	HK 2004-106291		20040823
PRIORITY APPLN. INFO.:			GB 2001-14005	A	20010608
			WO 2002-GB2721	W	20020606

OTHER SOURCE(S): MARPAT 138:39537

GI



I

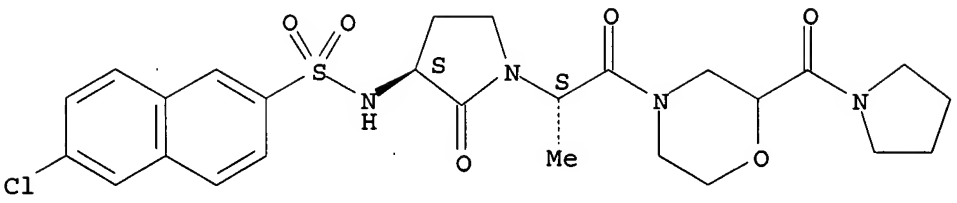
AB Title compds. I [R1 = H, (un)substituted alkyl, alkenyl, Ph, heteroarom.; R2 = alkyl, CF3; R3 = (un)substituted morpholino; A = (un)substituted naphthyl, phenylalkyl, NCC6H4, thienylalkyl, thienopyridinyl, benzothiazolyl, benzofuryl, benzothienyl, indolyl, bithienyl, thiadiazolylthienyl] were prepared for use in the amelioration of a clin. condition for which a Factor Xa inhibitor is indicated. An example is 6-chloro-N-[(3S)-1-[(1S)-1-methyl-2-morpholin-4-yl-2-oxoethyl]-2-oxopyrrolidin-3-yl]naphthalene-2-sulfonamide, for which a synthesis is described. All of the synthetic example compds. tested exhibited IC50 values for in vitro inhibition of Factor Xa of < 60 uM. Preferably compds. have an IC50 value of < 2 μM (more preferably < 0.1 μM).

IT 478637-11-5P 478637-19-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (sulfonylamino)pyrrolidineacetamides as Factor Xa inhibitors)

RN 478637-11-5 HCAPLUS

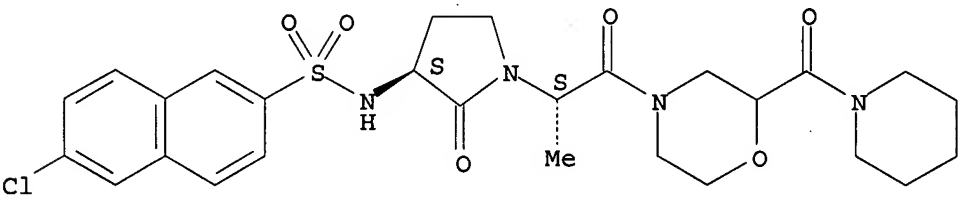
CN Morpholine, 4-[(2S)-2-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478637-19-3 HCAPLUS
CN Morpholine, 4-[(2S)-2-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:220550 HCAPLUS
DOCUMENT NUMBER: 136:263097
TITLE: Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters.
INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022572	A2	20020321	WO 2001-US28654	20010912 <--
WO 2002022572	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422055	A1	20020321	CA 2001-2422055	20010912 <--
AU 2001090873	A5	20020326	AU 2001-90873	20010912 <--

EP 1318988	A2	20030618	EP 2001-970926		20010912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004509103	T	20040325	JP 2002-526825		20010912
PRIORITY APPLN. INFO.:			US 2000-231667P	P	20000911
			US 2001-273530P	P	20010305
			US 2001-298057P	P	20010613
			US 2000-273530P	P	20010305
			US 2000-298057P	P	20010613
			WO 2001-US28654	W	20010912

OTHER SOURCE(S): MARPAT 136:263097
GI

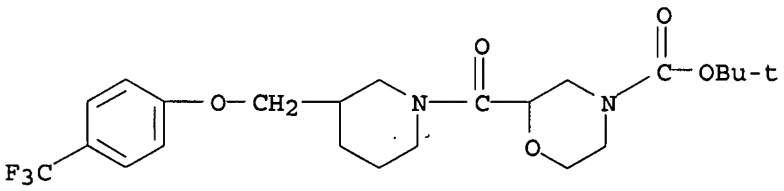
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

IT 405090-20-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405090-20-2 HCAPLUS

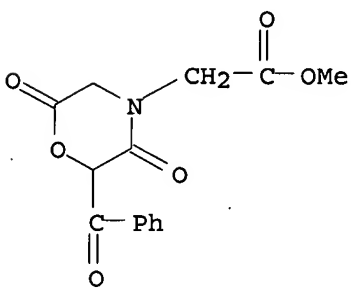
CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:490450 HCAPLUS
DOCUMENT NUMBER: 135:242195
TITLE: Photochemical synthesis of 3,4-dihydro-2H-1,3-oxazin-4-ones
AUTHOR(S): Wessig, Pablo; Schwarz, Jutta; Lindemann, Ute; Holthausen, Max C.
CORPORATE SOURCE: Institut fur Organische und Bioorganische Chemie, Humboldt-Universitat zu Berlin, Berlin, 10115, Germany
SOURCE: Synthesis (2001), (8), 1258-1262
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:242195

AB The first example of a C-O bond formation in the course of the Norrish-Yang reaction is described. Starting with readily accessible α-mesyloxy-β-keto amides, a δ-hydrogen transfer to the excited carbonyl group occurs and the diradicals thus formed undergo a very rapid elimination of methane sulfonic acid providing enolate diradicals. These ambidental enolate diradicals undergo a regioselective cyclization to 3,4-dihydro-2H-1,3-oxazin-4-ones. In two cases a cleavage reaction is observed, giving cyclic imines. The mechanism is investigated by means of DFT- and ab initio methods.

IT 359858-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photocyclization of)
RN 359858-74-5 HCAPLUS
CN 4-Morpholineacetic acid, 2-benzoyl-3,6-dioxo-, methyl ester (9CI) (CA INDEX NAME)



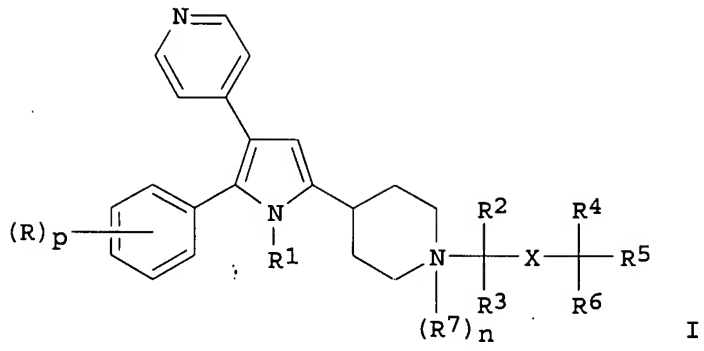
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

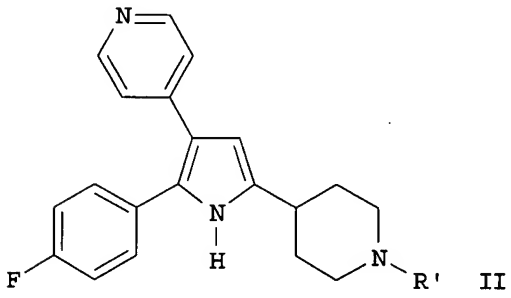
L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:359799 HCAPLUS
DOCUMENT NUMBER: 134:366803
TITLE: Synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivatives as antiprotozoal agents
INVENTOR(S): Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai; Ponpipom, Mitree M.; Qian, Xiaoxia; Girotra, Narindar; Fisher, Michael H.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001034150	A1	20010517	WO 2000-US30948		20001110 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6432980	B1	20020813	US 2000-710165		20001110 <--
PRIORITY APPLN. INFO.:			US 1999-165143P	P	19991112

OTHER SOURCE(S): MARPAT 134:366803
GI



I



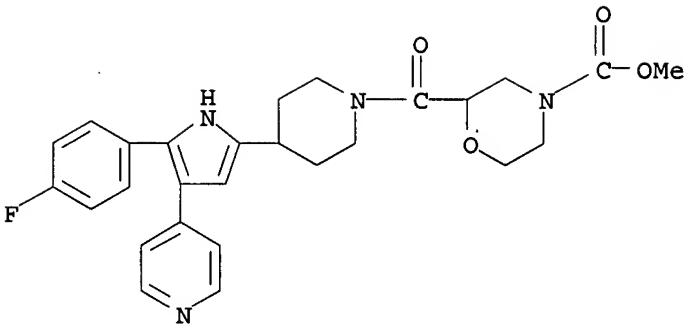
II

AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; X = bond, (CRaRa)p, cycloalkylene or cycloalkylidene; R = halo; R1 = H or alkyl; R2, R3 = H, (un)substituted alk(en/yn)yl, (un)substituted phenyl/benzyl, ester, or taken together are oxo; R4 = NH2 or CONH2 or their derivs.; R5, R6 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), or together represent oxo; or R4, R5 and the carbon to which they are attached form a 3-7 membered non-aromatic (substituted) ring containing a substituted nitrogen and (substituted) with an addnl. heteroatom chosen from O, S(O)0-2 and N; R7 = O or Me; Ra = H, alkyl or ether]. Approx. 170 compds. were prepared For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R' = H], which was reductively N-alkylated by N-methyl-4-piperidone and NaBH(OAc)3 to give title compound II [R' = 1-methylpiperidin-4-yl].

IT 340186-64-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

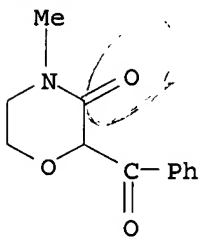
RN 340186-64-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



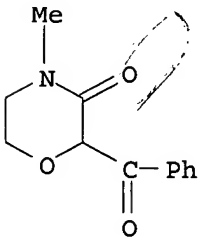
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:232336 HCAPLUS
DOCUMENT NUMBER: 131:5228
TITLE: A new synthesis of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via 3-morpholinones
AUTHOR(S): Dobrev, Alexander; Nechev, Lubomir; Ivanov, Christo; Bon, Maryse
CORPORATE SOURCE: Faculty of Chemistry, University of Sofia, Sofia, 1126, Bulg.
SOURCE: Journal of Chemical Research, Synopses (1999), (3), 188-189, 1001-1047
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:5228
AB A new pathway for the synthesis of 2-(1-hydroxyalkyl)- and 2-[1-(arylamino)alkyl]morpholines via α-hydroxy- or α-aminoalkylation of 3-morpholinones, followed by reduction with LiAlH4 of the intermediate compds. to the target substituted morpholines, is described.
IT 155051-71-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via 3-morpholinones)
RN 155051-71-1 HCAPLUS
CN 3-Morpholinone, 2-benzoyl-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

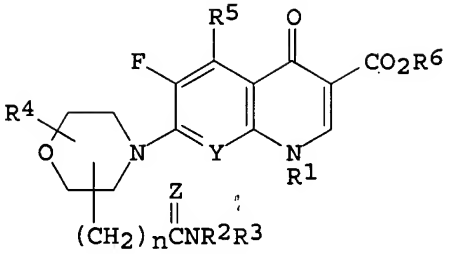
L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:298559 HCAPLUS
DOCUMENT NUMBER: 120:298559
TITLE: Synthesis of 2-acyl-4-alkyl-3-morpholinones
AUTHOR(S): Nechev, Lubomir V.; Dobrev, Alexander A.; Ivanov, Christo Ch.
CORPORATE SOURCE: Dep. Chem., Univ. Sofia, Sofia, 1126, Bulg.
SOURCE: Bulgarian Chemical Communications (1992), 25(4), 509-13
CODEN: BCHCE4; ISSN: 0324-1130
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:298559
AB Esters and N,N-diphenylamides of 4-alkyl-3-oxo-2-morpholinecarboxylic acid were obtained by acylation of lithium derivs. of 4-alkyl-3-morpholinones.
IT 155051-71-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 155051-71-1 HCAPLUS
CN 3-Morpholinone, 2-benzoyl-4-methyl- (9CI) (CA INDEX NAME)



L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:471624 HCAPLUS
DOCUMENT NUMBER: 115:71624
TITLE: Preparation of 7-morpholino-4-oxoquinoline-3-carboxylic acids and their analogs as antibacterial agent
INVENTOR(S): Araki, Kazuhiko; Kuroda, Takeshi; Uemori, Satoru; Moriguchi, Akihiko; Ikeda, Takashi
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03048682	A	19910301	JP 1989-183401	19890714 <--

PRIORITY APPLN. INFO.: JP 1989-183401 19890714
OTHER SOURCE(S): MARPAT 115:71624
GI



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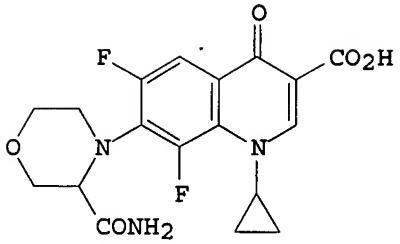


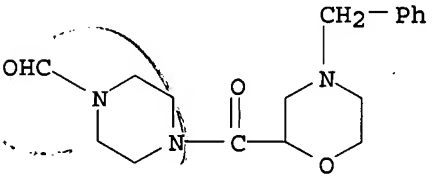
II

AB The title compds. [I; R1 = alkyl, cycloalkyl, haloalkyl, alkenyl, NH2, mono- or dialkylamino, (substituted) Ph; Y = N, CX; X = H, halo, alkyl, alkoxy; or XR1 forming a 5- to 7-membered ring; R2 = H, (substituted) alkyl or cycloalkyl, NH2, mono- or dialkylamino; R3 = H, alkyl, aralkyl, acyl; or NR2R3 = heterocyclyl; Z = O, S; R4 = H, alkyl; R5 = H, NH2, alkoxy, OH; R6 = H, alkyl, aralkyl, ester residue hydrolyzable in vivo; n = 0-3], which show a broad spectrum of enhanced antibacterial activity in vivo and in vitro against gram-pos. bacteria, excellent absorption through oral administration, and low toxicity without the inherent side effects (no data), are prepared Thus, to a mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and MeCN was added DBU followed by morpholine-2-carboxamide and the resultant mixture was refluxed 7 h to give, after recrystn. from DMF, oxoquinoline derivative (II). Addnl. 12 I were prepared

IT 135072-20-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antibacterial morpholinooxoquinolinecarboxylic acid)

RN 135072-20-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[[4-(phenylmethyl)-2-morpholinyl]carbonyl]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	47.36	640.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.24	-14.04

STN INTERNATIONAL LOGOFF AT 12:50:25 ON 22 MAY 2007

2nd set

10524921c.trn

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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 28	MAY 01	New CAS web site launched
NEWS 29	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 33	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 34	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 14:16:30 ON 22 MAY 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:16:46 ON 22 MAY 2007
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STRUCTURE FILE UPDATES:   21 MAY 2007  HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES:  21 MAY 2007  HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
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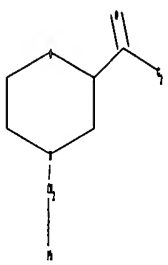
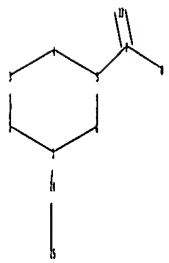
10524921c.trn

Uploading C:\Program Files\Stnexp\Queries\10524921c.str





chain nodes :
7 8 13 14 15
ring nodes :
1 2 3 4 5 6
chain bonds :
1-14 5-7 7-8 7-13 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
1-14 5-7 14-15
isolated ring systems :
containing 1 :

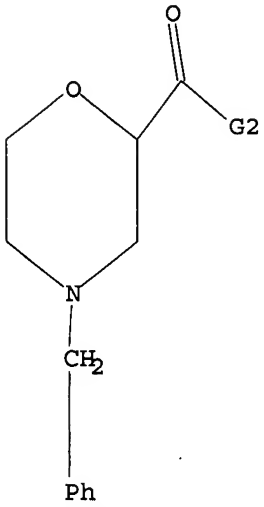
G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS
14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 14:17:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      162 TO ITERATE

100.0% PROCESSED      162 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2477 TO     4003
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED -     2693 TO ITERATE

100.0% PROCESSED     2693 ITERATIONS                             16 ANSWERS
SEARCH TIME: 00.00.01

L3            16 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              172.10     172.31

FILE 'HCAPLUS' ENTERED AT 14:17:16 ON 22 MAY 2007
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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l3
L4           19 L3

=> s l4 and py<=2002
      22885370 PY<=2002
L5            1 L4 AND PY<=2002

=> d l5 ibib abs hitstr tot

L5   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         1991:471624  HCAPLUS
DOCUMENT NUMBER:          115:71624
TITLE:                    Preparation of 7-morpholino-4-oxoquinoline-3-
                          carboxylic acids and their analogs as antibacterial
                          agent
INVENTOR(S):              Araki, Kazuhiko; Kuroda, Takeshi; Uemori, Satoru;
                          Moriguchi, Akihiko; Ikeda, Takashi
PATENT ASSIGNEE(S):       Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 13 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     JP 03048682           A     19910301    JP 1989-183401           19890714 <--
PRIORITY APPLN. INFO.:                       JP 1989-183401           19890714
OTHER SOURCE(S):          MARPAT 115:71624
GI
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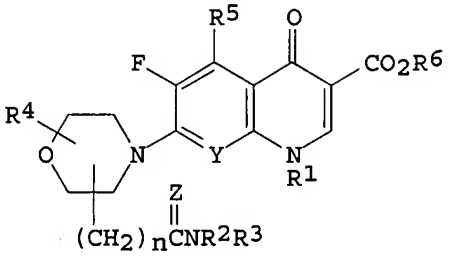
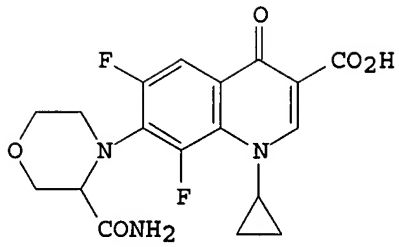


I



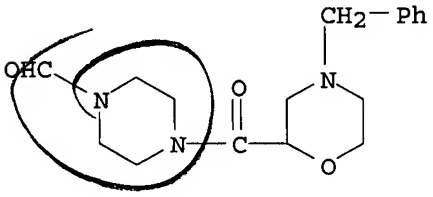
II

AB The title compds. [I; R1 = alkyl, cycloalkyl, haloalkyl, alkenyl, NH2, mono- or dialkylamino, (substituted) Ph; Y = N, CX; X = H, halo, alkyl, alkoxy; or XR1 forming a 5- to 7-membered ring; R2 = H, (substituted) alkyl or cycloalkyl, NH2, mono- or dialkylamino; R3 = H, alkyl, aralkyl, acyl; or NR2R3 = heterocyclyl; Z = O, S; R4 = H, alkyl; R5 = H, NH2, alkoxy, OH; R6 = H, alkyl, aralkyl, ester residue hydrolyzable in vivo; n = 0-3], which show a broad spectrum of enhanced antibacterial activity in vivo and in vitro against gram-pos. bacteria, excellent absorption through oral administration, and low toxicity without the inherent side effects (no data), are prepared Thus, to a mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and MeCN was added DBU followed by morpholine-2-carboxamide and the resultant mixture was refluxed 7 h to give, after recrystn. from DMF, oxoquinoline derivative (II). Addnl. 12 I were prepared

IT 135072-20-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antibacterial morpholinooxoquinolinecarboxylic acid)

RN 135072-20-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[[4-(phenylmethyl)-2-morpholinyl]carbonyl]- (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-0.78

FILE 'REGISTRY' ENTERED AT 14:22:29 ON 22 MAY 2007

10524921c.trn

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STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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http://www.cas.org/support/stngen/stndoc/properties.html

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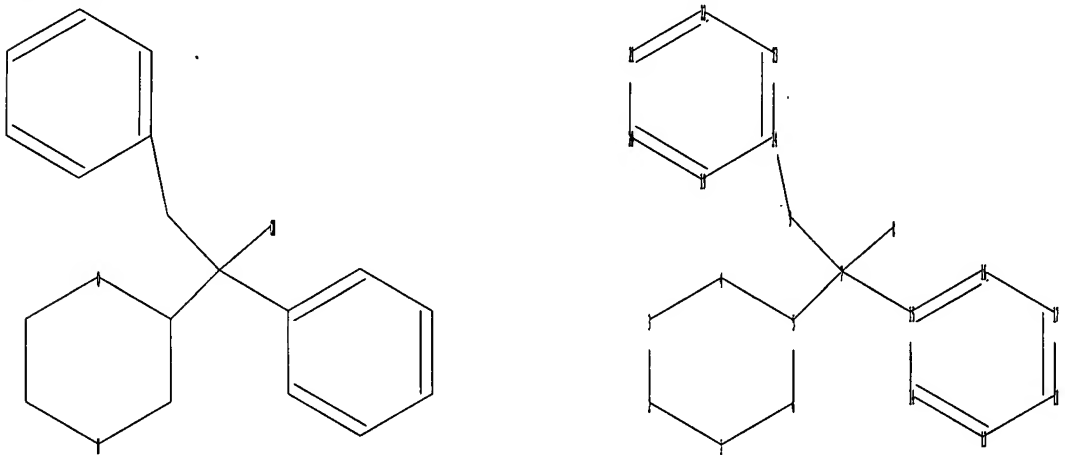

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7 8 9
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 24
chain bonds :
5-7 7-9 7-8 7-15 9-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8
exact bonds :
5-7 7-9 7-15 9-24
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 13 : 19 :

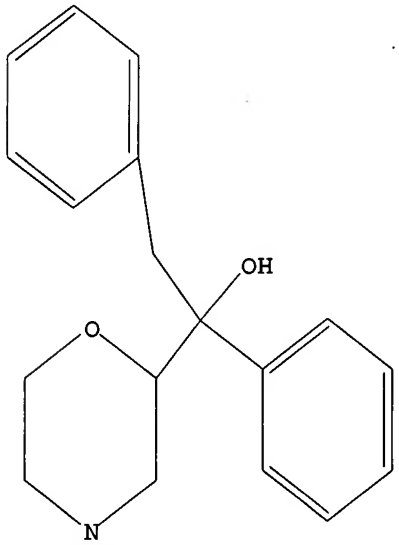
G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR



G1 OH,MeO,EtO,n-PrO,n-BuO
G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l6
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SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 4 TO 200

L7 4 SEA SSS SAM L6

=> s l6 sss full

10524921c.trn

FULL SEARCH INITIATED 14:22:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 242 TO ITERATE

100.0% PROCESSED 242 ITERATIONS 189 ANSWERS
SEARCH TIME: 00.00.01

L8 189 SEA SSS FUL L6

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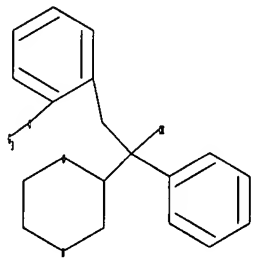

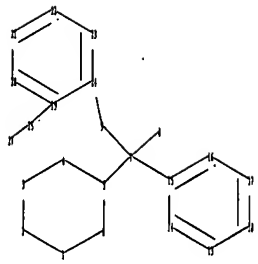

chain nodes :
7 8 9 25 27
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 24
chain bonds :
5-7 7-9 7-8 7-15 9-24 19-25 25-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 19-25 25-27
exact bonds :
5-7 7-9 7-15 9-24
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 13 : 19 :

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

G3:CH3,CF3,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 13:CLASS
14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
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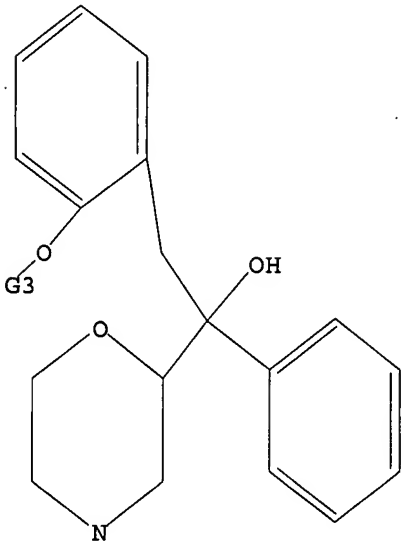
L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

G3 Me,CF3,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 14:25:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 1 TO 80

L10 1 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 14:25:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 64 TO ITERATE

100.0% PROCESSED 64 ITERATIONS 53 ANSWERS
SEARCH TIME: 00.00.01

```
L11          53 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   345.55     546.53

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=> d his

     (FILE 'HOME' ENTERED AT 14:16:30 ON 22 MAY 2007)

     FILE 'REGISTRY' ENTERED AT 14:16:46 ON 22 MAY 2007
L1             STRUCTURE UPLOADED
L2           2 S L1
L3          16 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:17:16 ON 22 MAY 2007
L4          19 S L3
L5           1 S L4 AND PY<=2002

     FILE 'REGISTRY' ENTERED AT 14:22:29 ON 22 MAY 2007
L6             STRUCTURE UPLOADED
L7           4 S L6
L8         189 S L6 SSS FULL
L9             STRUCTURE UPLOADED
L10          1 S L9
L11         53 S L9 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:25:13 ON 22 MAY 2007

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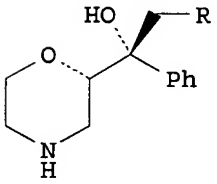
10524921c.trn

L12 8 L11

=> s l12 and py<=2002
22885370 PY<=2002
L13 0 L12 AND PY<=2002

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:188918 HCAPLUS
DOCUMENT NUMBER: 144:432755
TITLE: Discovery of novel and selective tertiary alcohol containing inhibitors of the norepinephrine transporter
AUTHOR(S): Cases-Thomas, Manuel J.; Masters, John J.; Walter, Magnus W.; Campbell, Gordon; Haughton, Louise; Gallagher, Peter T.; Dobson, David R.; Mancuso, Vincent; Bonnier, Benjamin; Giard, Thierry; Defrance, Thierry; Vanmarsenille, Michel; Ledgard, Andrew; White, Craig; Ouwerkerk-Mahadevan, Sivi; Brunelle, Francoise J.; Dezutter, Nancy A.; Herbots, Camy A.; Lienard, Joel Y.; Findlay, Jeremy; Hayhurst, Lorna; Boot, John; Thompson, Linda K.; Hemrick-Luecke, Susan
CORPORATE SOURCE: Lilly Research Centre, Eli Lilly and Company, Ltd, Surrey, GU20 6PH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 2022-2025
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:432755
GI



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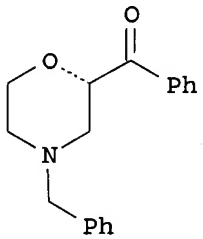


II

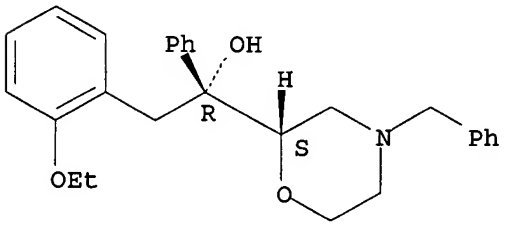
AB Nonracemic α-phenyl-α-(arylmethyl)-2-morpholinemethanol hydrochlorides I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) are prepared as potent and selective inhibitors of the norepinephrine transporter. I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) are prepared using the diastereoselective addition of arylmethyl Grignard reagents to nonracemic morpholinylphenylmethanone II as the key step; debenzylation with 1-chloroethyl chloroformate and methanolysis provides the title compds. II is prepared in four steps by addition of 2-(benzylamino)ethanol to α-chloroacrylonitrile, cyclocondensation to the morpholinecarbonitrile, addition of phenylmagnesium chloride and hydrolysis to racemic II, and resolution of racemic II either by preparative HPLC or by

preparative SFC. The in vitro binding affinities of I•HCl (R = Ph, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-ClC6H4, 2-BrC6H4, 2-EtOC6H4, 2-Me2CHOC6H4, 2-F3CSC6H4, 2-PhC6H4) and of the three diastereomers of I•HCl (R = 2-MeOC6H4) for the norepinephrine, dopamine and serotonin transporters are given; the in vivo activity of I•HCl (R = 2-MeOC6H4) in a pharmacodynamic animal model for norepinephrine reuptake inhibition is also given. The structure of I•HCl (R = 2-BrC6H4) is determined by X-ray crystallog.

IT 885096-47-9P 885096-48-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of nonracemic α-phenyl-α-(arylmethyl)morpholinemethanols and their activities as selective norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)

RN 885096-47-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

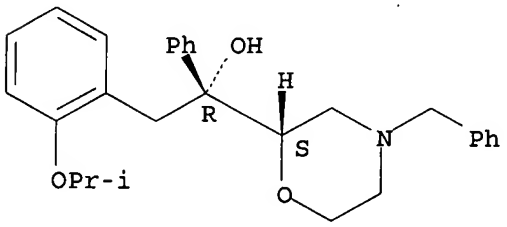
Absolute stereochemistry.



● HCl

RN 885096-48-0 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



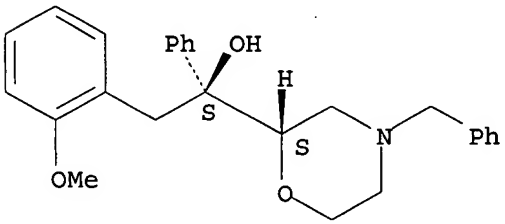
● HCl

IT 885096-38-8P 885096-39-9P 885096-40-2P
885096-41-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of the four nonracemic α-phenyl-α-(2-methoxybenzyl)morpholinemethanol diastereomers and comparison of their activities and selectivities as norepinephrine transporter inhibitors)

RN 885096-38-8 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αS,2S)- (9CI) (CA INDEX NAME)

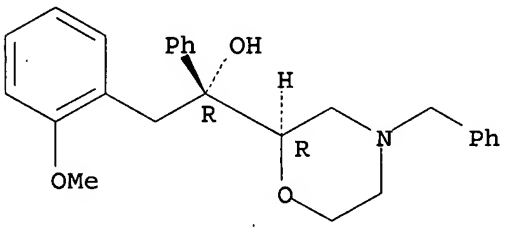
Absolute stereochemistry.



● HCl

RN 885096-39-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2R)- (9CI) (CA INDEX NAME)

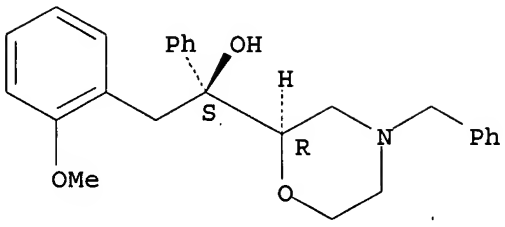
Absolute stereochemistry.



● HCl

RN 885096-40-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αS,2R)- (9CI) (CA INDEX NAME)

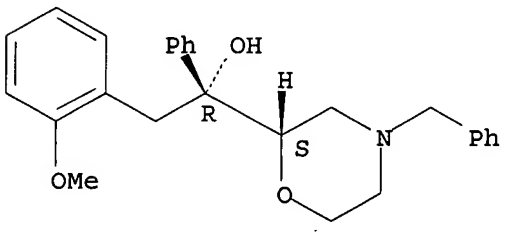
Absolute stereochemistry.



● HCl

RN 885096-41-3 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:588645 HCAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

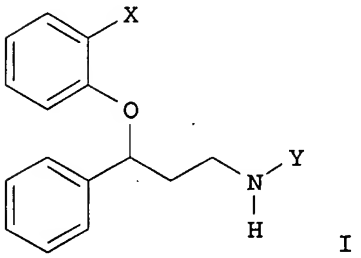
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2548304	A1	20050707	CA 2004-2548304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1889940	A	20070103	CN 2004-80036841	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:			US 2003-529428P	P 20031212
			WO 2004-US38221	W 20041201

OTHER SOURCE(S): MARPAT 143:115550
GI



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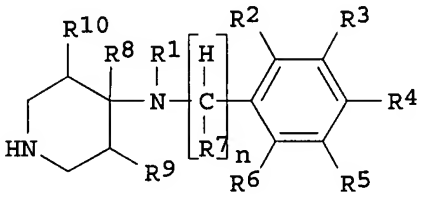


II

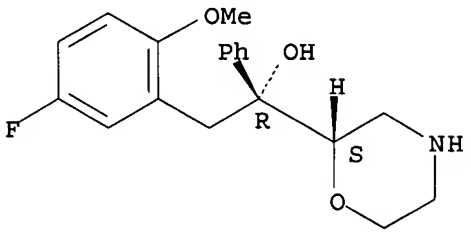
AB The invention relates to a method of preventing or treating hot flashes, vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amount of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy], etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prepared E.g., a 2-step synthesis of N-(2-methylpropyl)-N-[(2-fluorophenyl)methyl]piperidin-4-amine fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidine-1-carboxylate and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μM, more preferably less than 500 nM at the norepinephrine transporter as determined using the scintillation proximity assay.

IT 857642-46-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 857642-46-7 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



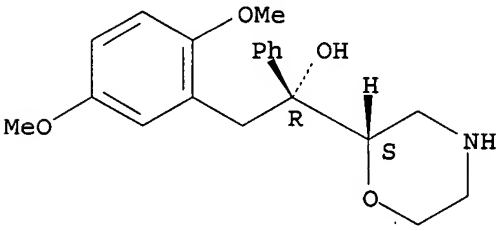
● HCl

IT 854139-86-9P 857642-38-7P 857642-40-1P
857642-41-2P 857642-42-3P 857642-43-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 854139-86-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

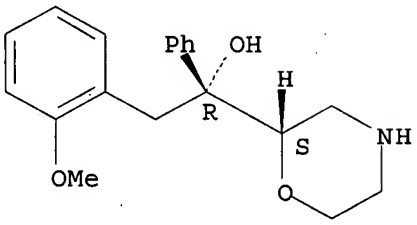


● HCl

RN 857642-38-7 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

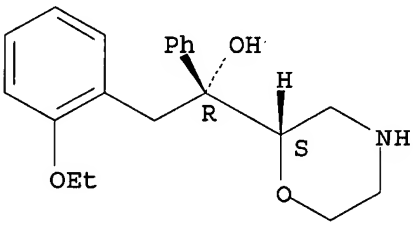
Relative stereochemistry.



● HCl

RN 857642-40-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

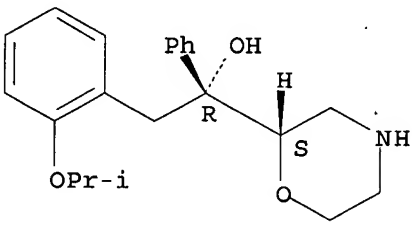
Relative stereochemistry.



● HCl

RN 857642-41-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

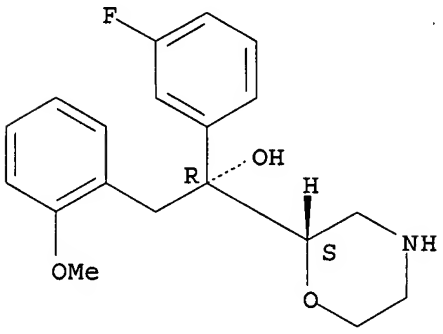
Relative stereochemistry.



● HCl

RN 857642-42-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

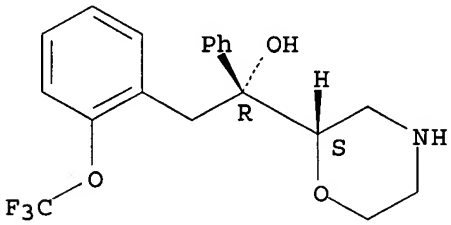
Relative stereochemistry.



● HCl

RN 857642-43-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

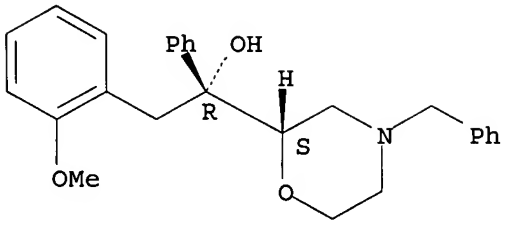
Relative stereochemistry.



● HCl

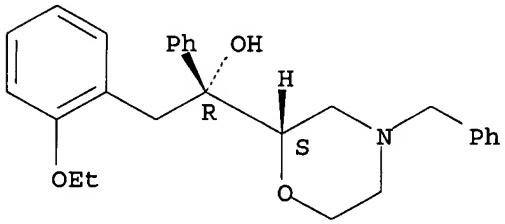
IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



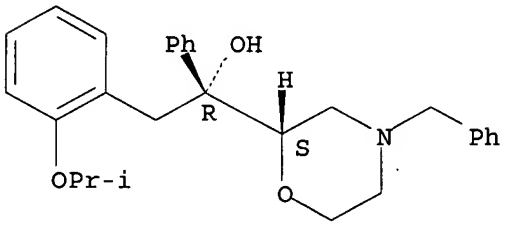
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



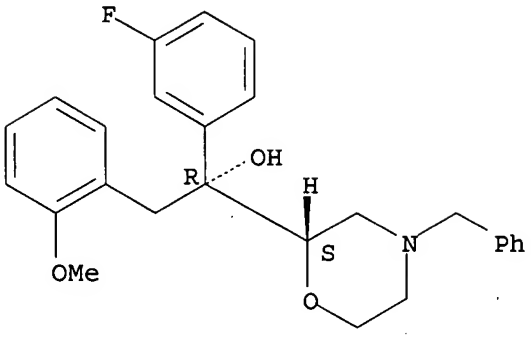
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



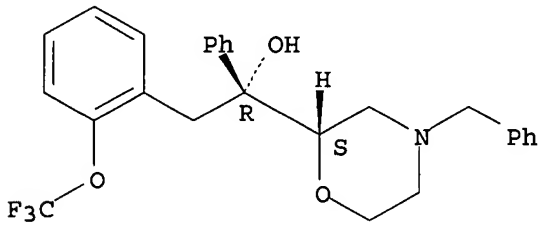
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



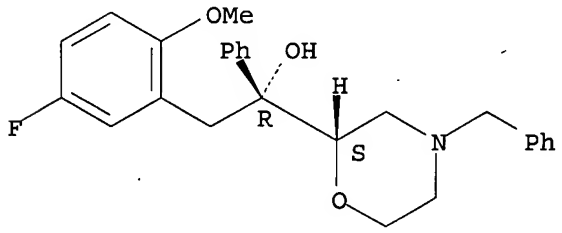
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



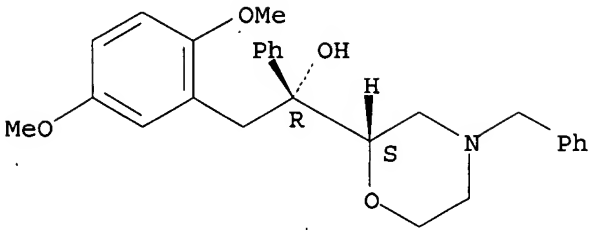
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

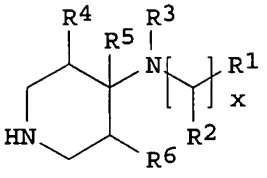


L12 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:523264 HCAPLUS
DOCUMENT NUMBER: 143:59831
TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure
INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelson, David; Gehlert, Donald Richard; Yang, Charles Renkin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-524450P P 20031124
US 2003-524781P P 20031125
OTHER SOURCE(S): MARPAT 143:59831
GI



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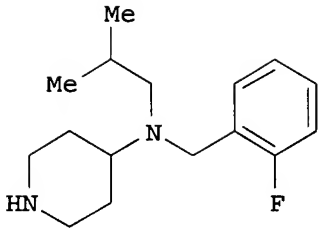


II

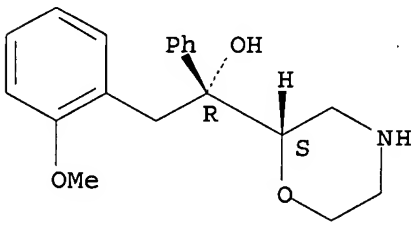
AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
854139-86-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

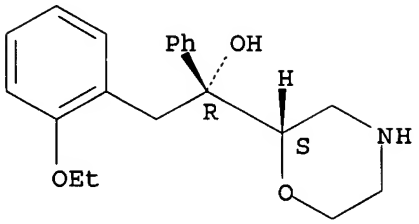


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

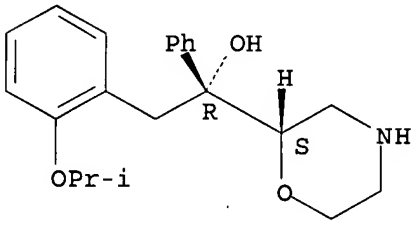
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

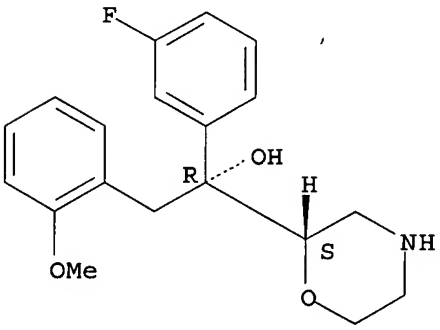
Absolute stereochemistry.



● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

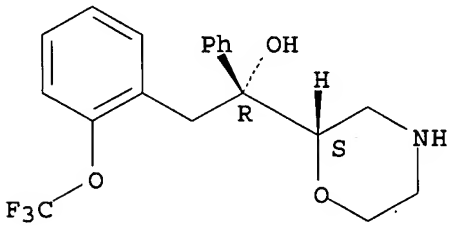
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

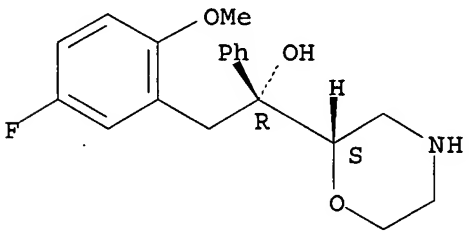
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

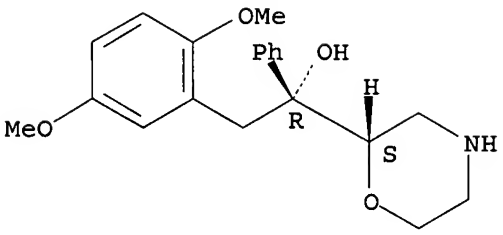
Absolute stereochemistry.



● HCl

RN 854139-86-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

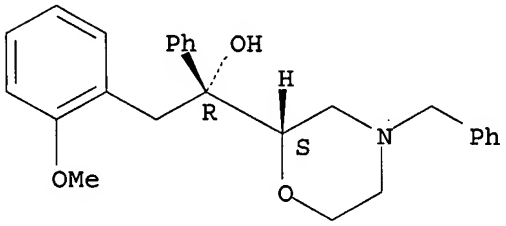


● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P 854139-69-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

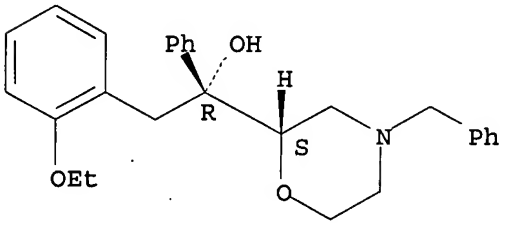
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



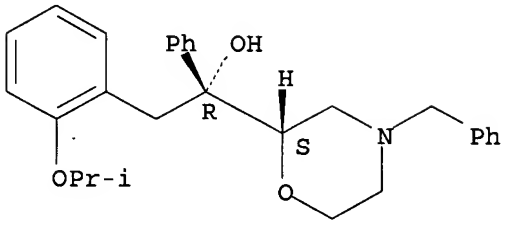
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



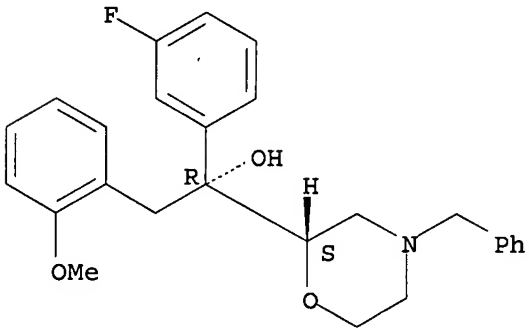
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



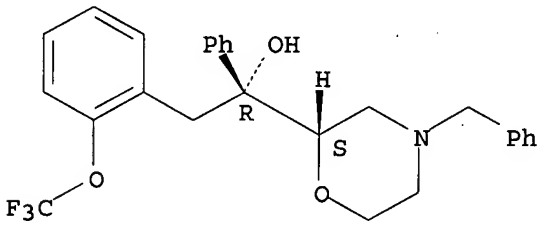
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



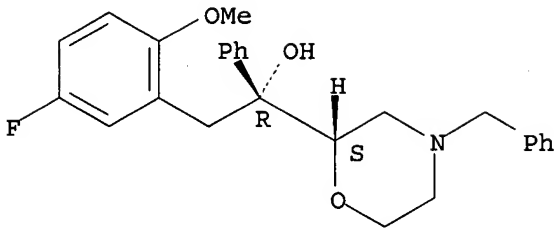
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



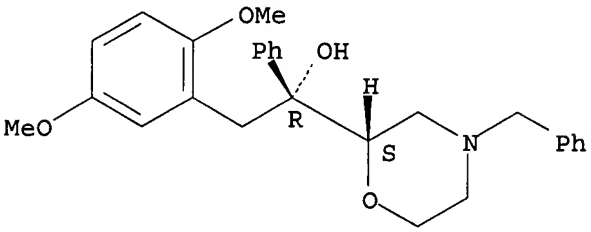
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



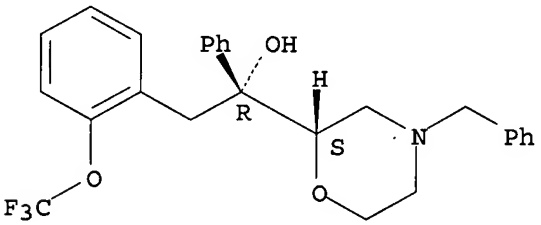
RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 854139-69-8 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L12 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216719 HCAPLUS
DOCUMENT NUMBER: 142:291416
TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
INVENTOR(S): Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532349	A1	20050310	CA 2004-2532349	20040825
EP 1660185	A2	20060531	EP 2004-780429	20040825
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US 2007032554	A1	20070208	US 2006-568269	20060214
PRIORITY APPLN. INFO.:			US 2003-498018P P	20030827
			WO 2004-US25591 W	20040825

OTHER SOURCE(S): MARPAT 142:291416
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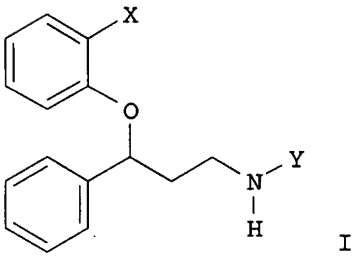

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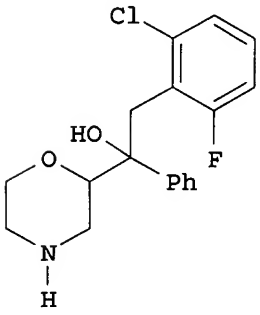


II

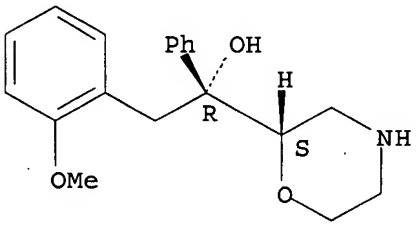
AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake

inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
664360-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

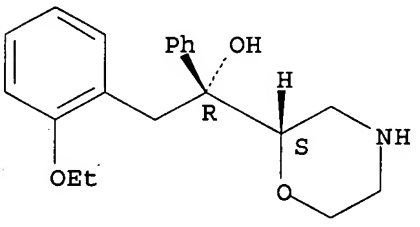
Absolute stereochemistry.



● HCl

RN 664360-73-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

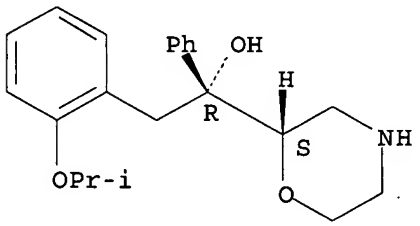
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

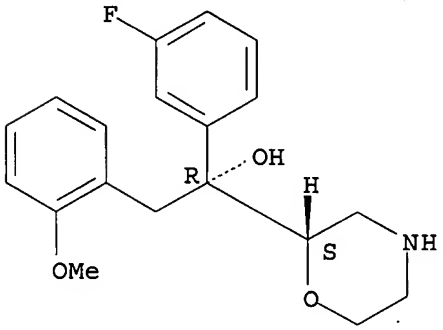
Absolute stereochemistry.



● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

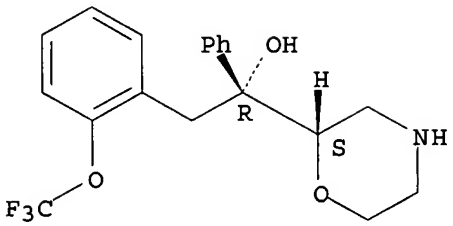
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

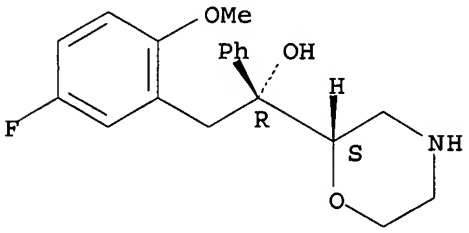
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

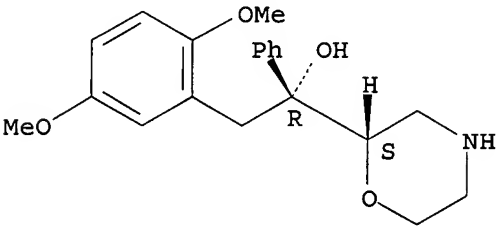
Absolute stereochemistry.



● HCl

RN 664360-91-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



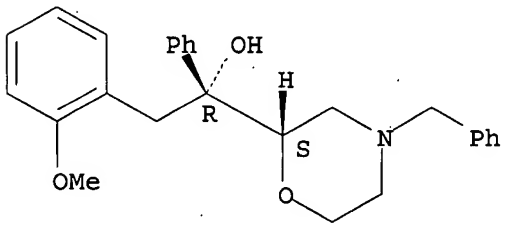
● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

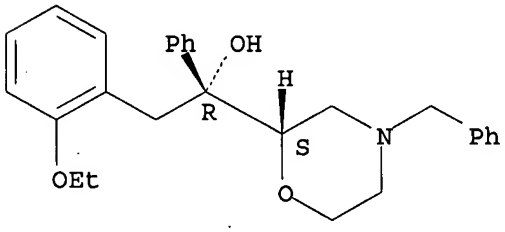
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



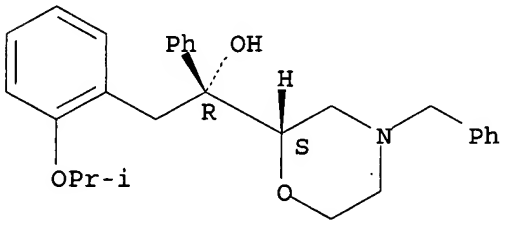
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



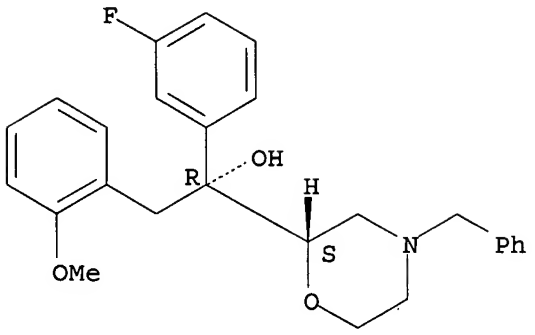
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



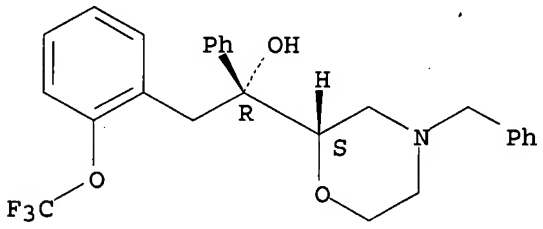
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



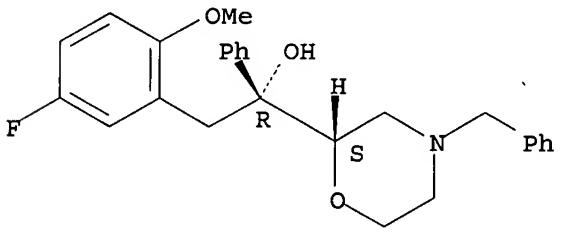
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



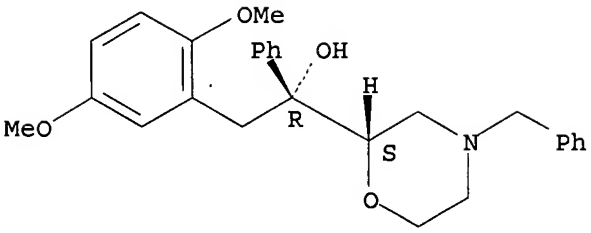
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

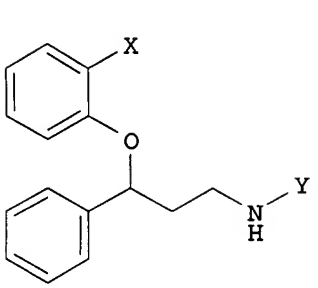
Relative stereochemistry.



L12 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216660 HCAPLUS
DOCUMENT NUMBER: 142:291415
TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors
INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005020976	A2	20050310	WO 2004-US25593		20040825
WO 2005020976	A3	20050616			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2536161	A1	20050310	CA 2004-2536161		20040825
EP 1660065	A2	20060531	EP 2004-780431		20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 2006241188	A1	20061026	US 2006-568466		20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P	20030827
			WO 2004-US25593	W	20040825

OTHER SOURCE(S): MARPAT 142:291415
GI



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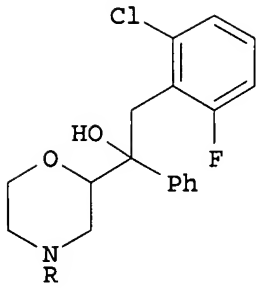


II

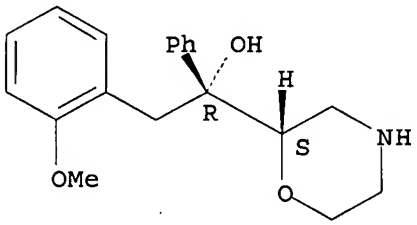
AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl (R = H) was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation of the obtained alc. I (R = Bn). The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
664360-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



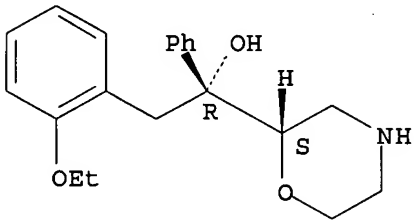
● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-,

hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

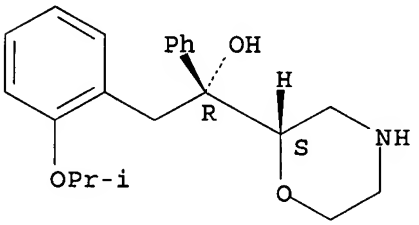
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

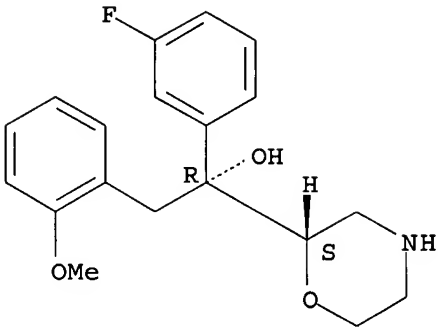
Absolute stereochemistry.



● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

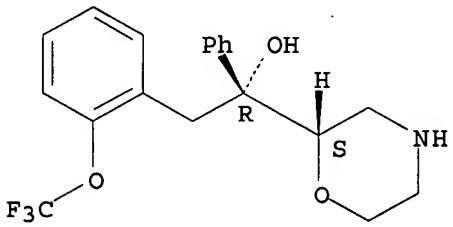
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

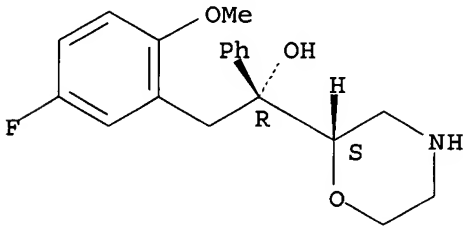
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

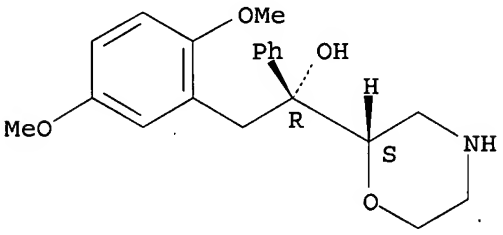
Absolute stereochemistry.



● HCl

RN 664360-91-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

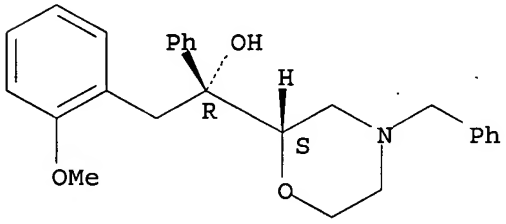


● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

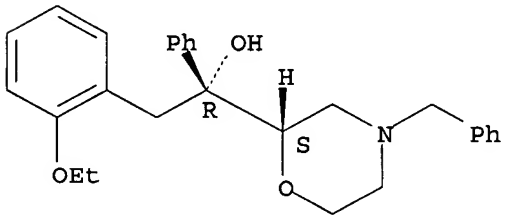
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



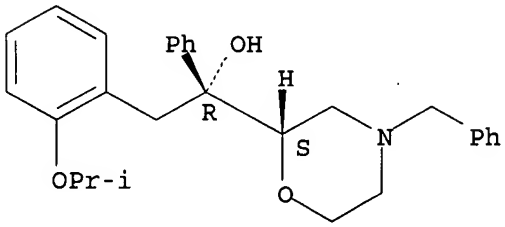
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



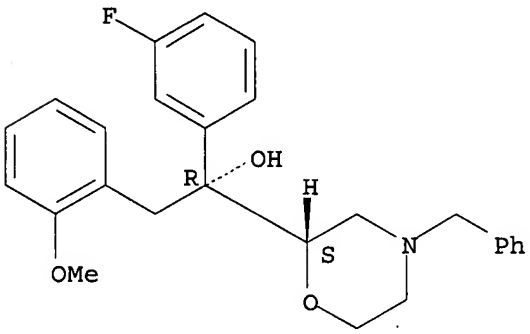
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



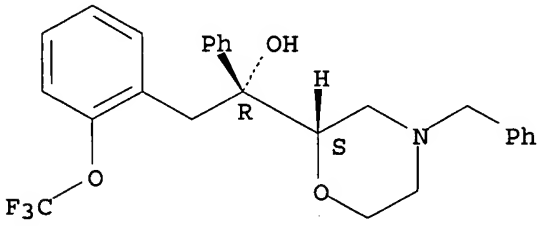
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



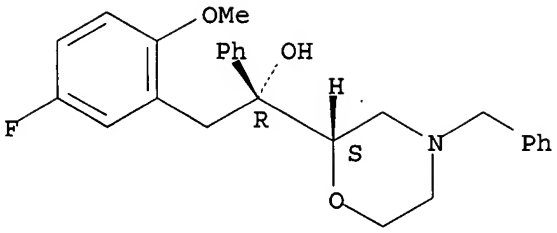
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



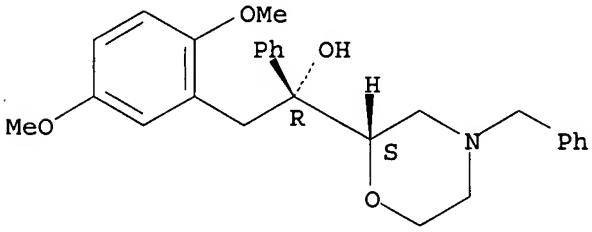
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:216659 HCAPLUS
DOCUMENT NUMBER: 142:291414
TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
INVENTOR(S): Sumner, Calvin Russell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020975	A2	20050310	WO 2004-US25592	20040825
WO 2005020975	A3	20050602		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1660064	A2	20060531	EP 2004-780430	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 2007105960 A1 20070510 US 2006-568244 20060214
PRIORITY APPLN. INFO.: US 2003-498019P P 20030827
WO 2004-US25592 W 20040825
OTHER SOURCE(S): MARPAT 142:291414
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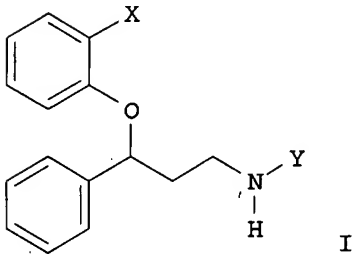
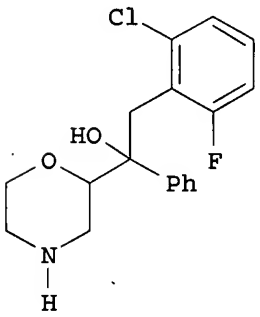


I



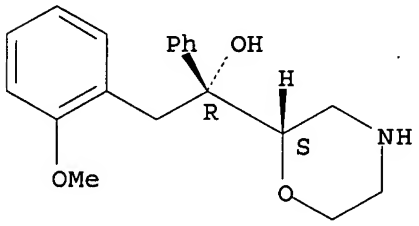
II

AB Provided are methods and medicaments for treating a learning disability or a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
664360-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

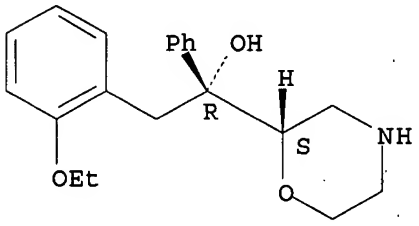
Absolute stereochemistry.



● HCl

RN 664360-73-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

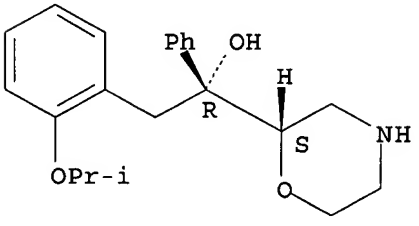
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

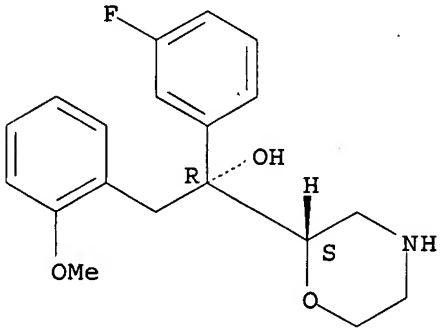
Absolute stereochemistry.



● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

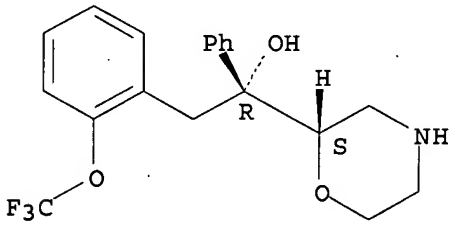
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

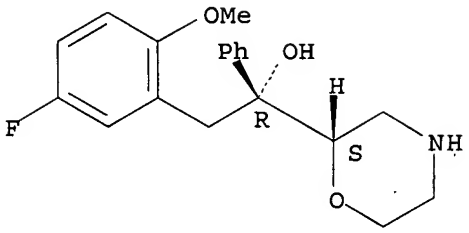
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

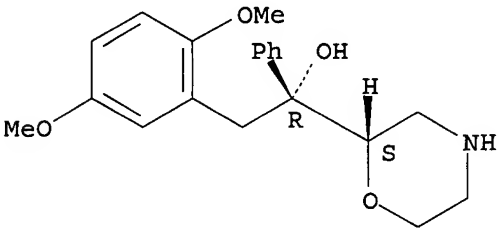
Absolute stereochemistry.



● HCl

RN 664360-91-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

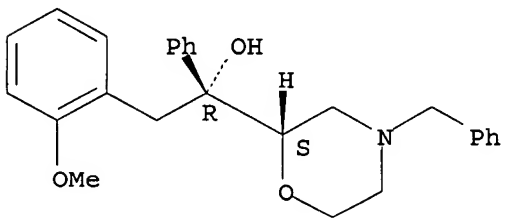
Absolute stereochemistry.



● HCl

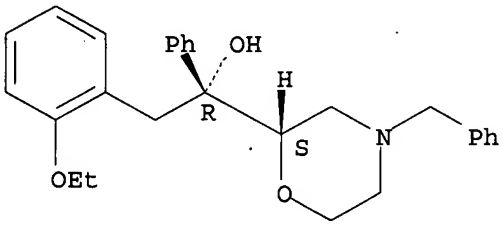
IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



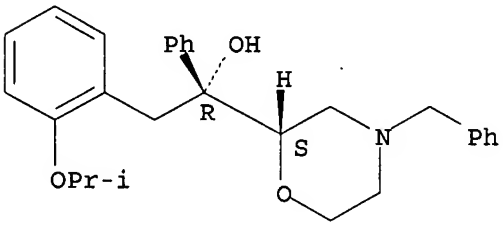
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



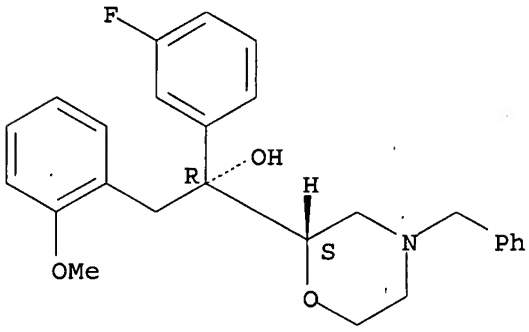
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



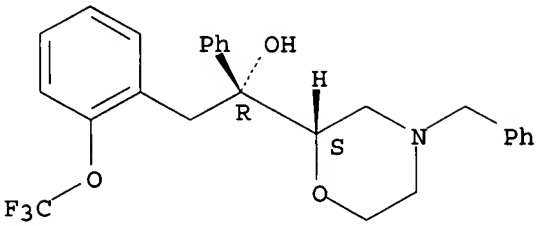
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



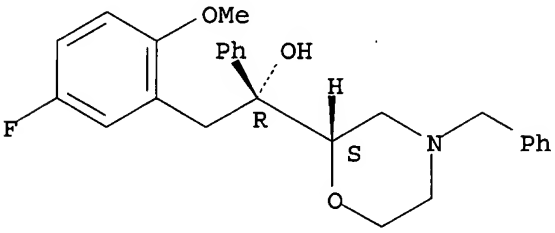
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



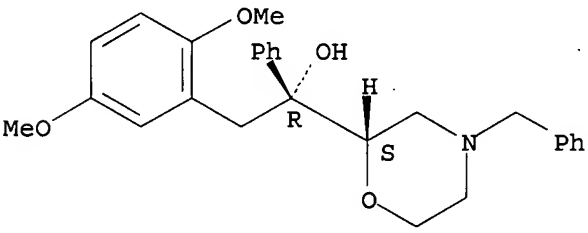
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

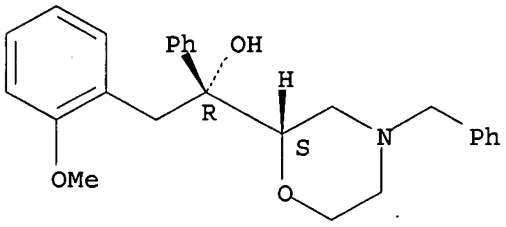


L12 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1036891 HCAPLUS
DOCUMENT NUMBER: 142:16841
TITLE: Treatment of emotional dysregulation
INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann; Michelson, David; Reimherr, Frederick William
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004-US13005	20040511

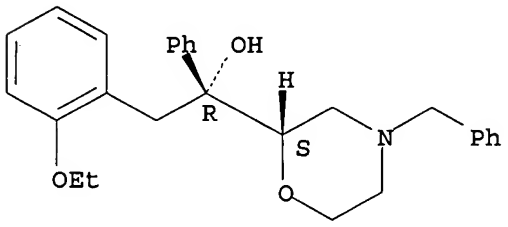
WO 2004103356 A3 20050331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2003-470752P P 20030515
OTHER SOURCE(S): MARPAT 142:16841
AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine reuptake inhibitor.
IT 800407-77-6P 800407-78-7P 800407-79-8P
800407-81-2P 800407-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of emotional dysregulation)
RN 800407-77-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



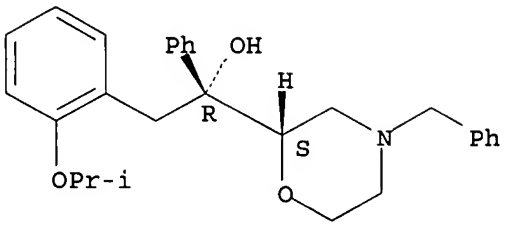
RN 800407-78-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



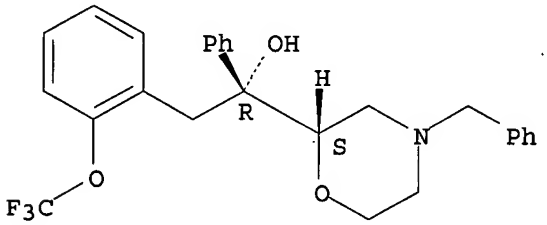
RN 800407-79-8 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



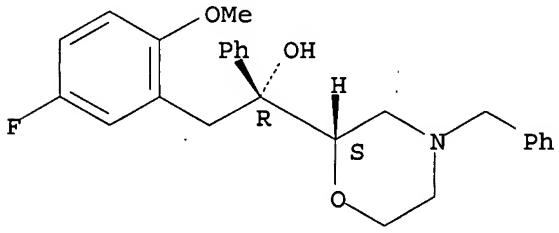
RN 800407-81-2 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



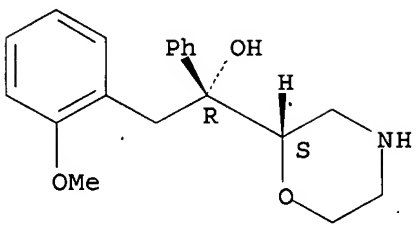
RN 800407-86-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-76-3P 664360-79-6P 800407-80-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of emotional dysregulation)
RN 664360-72-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

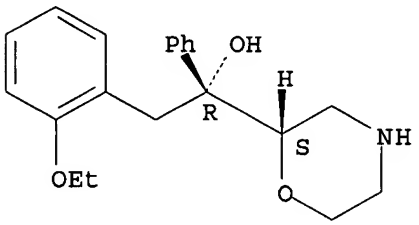
Absolute stereochemistry.



● HCl

RN 664360-73-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

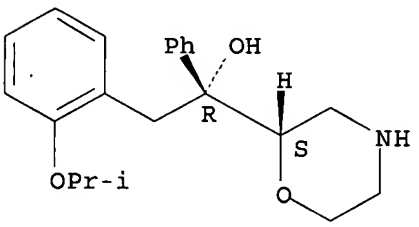
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

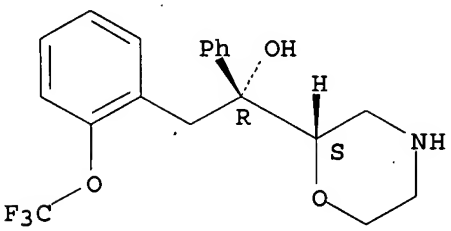
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

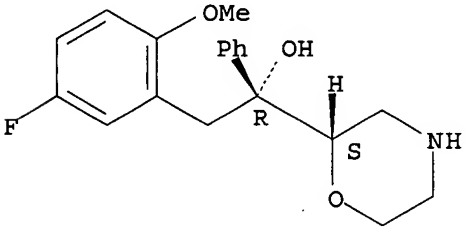
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

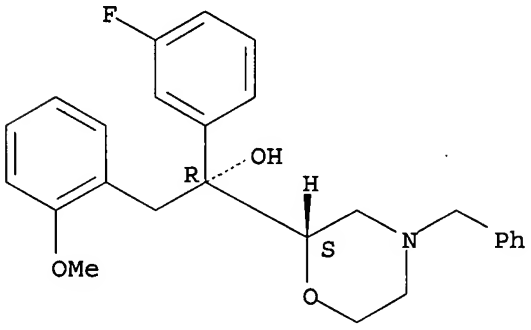
Absolute stereochemistry.



● HCl

RN 800407-80-1 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

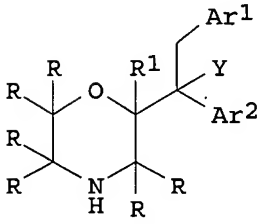


L12 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

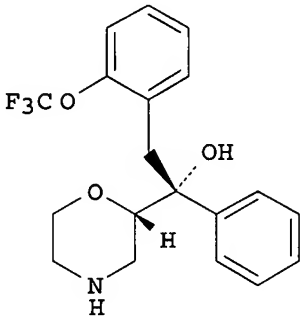
ACCESSION NUMBER: 2004:182855 HCAPLUS
DOCUMENT NUMBER: 140:217649
TITLE: Preparation of aryl and heteroaryl morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Cases-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Peteira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018441	A1	20040304	WO 2003-US23270	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003268024	A1	20040311	AU 2003-268024	20030818
EP 1534694	A1	20050601	EP 2003-748975	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006003998	A1	20060105	US 2005-524921	20050215
PRIORITY APPLN. INFO.:			GB 2002-19687 A	20020823
			US 2002-415303P P	20021001
			WO 2003-US23270 W	20030818

OTHER SOURCE(S): MARPAT 140:217649
GI



I



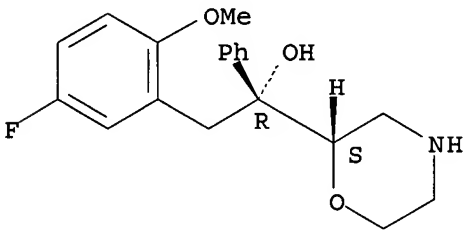
II

AB Morpholine derivs. of formula I [R = independently H, alkyl;, R1 = H, alkyl; Y = OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared The compds. are selective inhibitors of the

reuptake of norepinephrine. Thus, II.HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethanone (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had Ki values less than 500 nM at the norepinephrine transporter in a scintillation proximity assay.

IT 664360-79-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-79-6 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

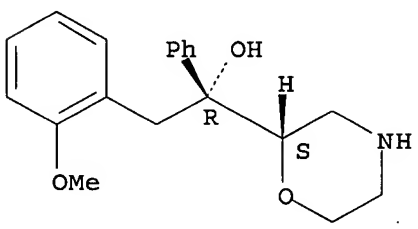
Absolute stereochemistry.



● HCl

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-91-2P
664361-37-9P 664361-38-0P 664361-39-1P
664361-40-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664360-72-9 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

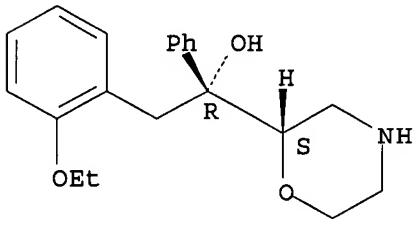
Absolute stereochemistry.



● HCl

RN 664360-73-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

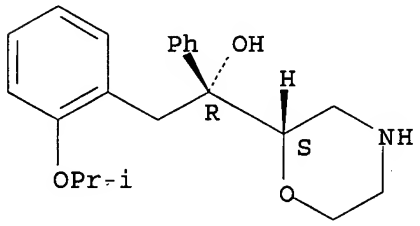
Absolute stereochemistry.



● HCl

RN 664360-74-1 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

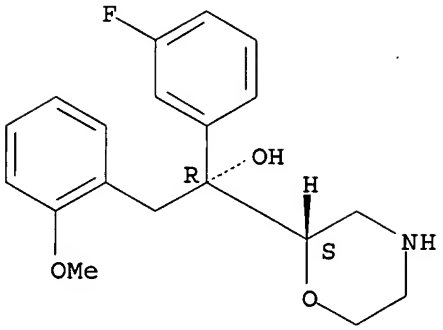
Absolute stereochemistry.



● HCl

RN 664360-75-2 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

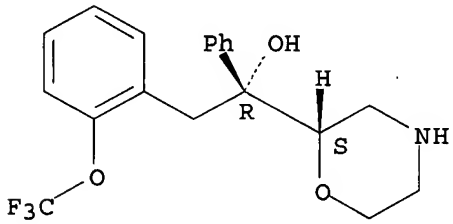
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

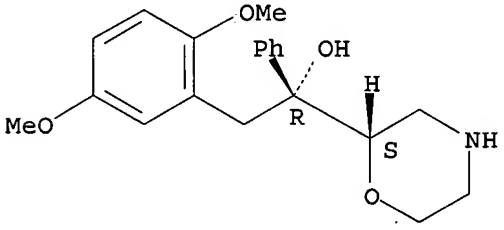
Absolute stereochemistry.



● HCl

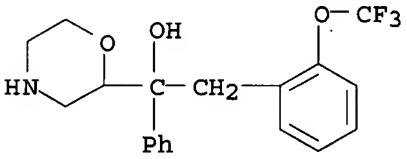
RN 664360-91-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



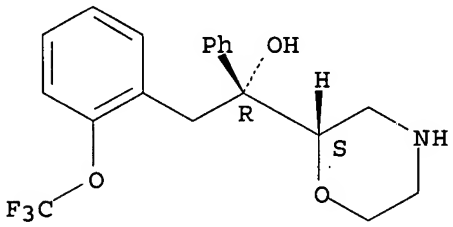
● HCl

RN 664361-37-9 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

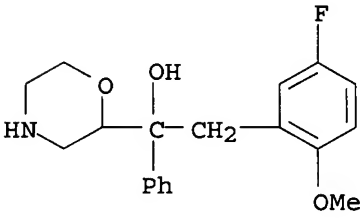


RN 664361-38-0 , HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

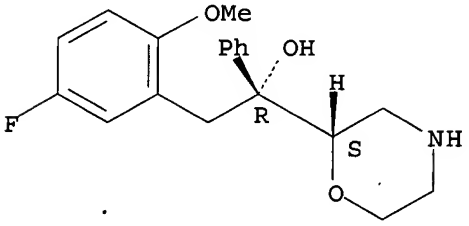


RN 664361-39-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl- (9CI) (CA INDEX NAME)



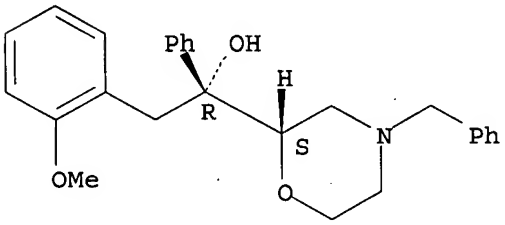
RN 664361-40-4 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



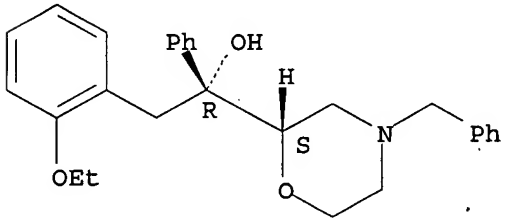
IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)
RN 664361-12-0 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



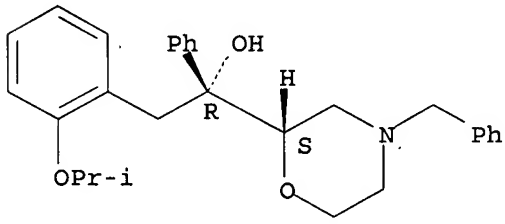
RN 664361-13-1 HCAPLUS
CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



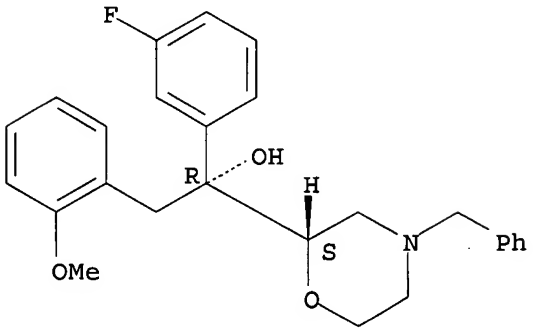
RN 664361-14-2 HCAPLUS
CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



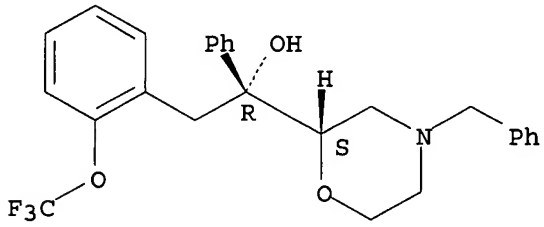
RN 664361-15-3 HCAPLUS
CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



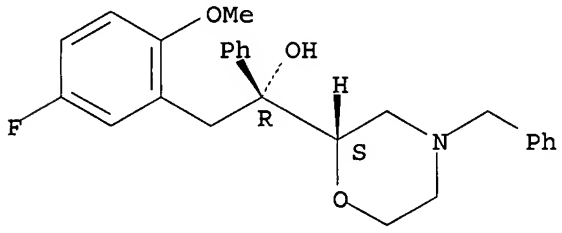
RN 664361-16-4 HCAPLUS
CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



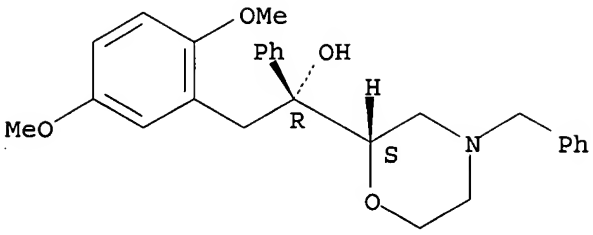
RN 664361-19-7 HCAPLUS
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-30-2 HCAPLUS
CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	47.36	593.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.24	-7.02

STN INTERNATIONAL LOGOFF AT 14:26:26 ON 22 MAY 2007